

=> d his

(FILE 'HCAPLUS' ENTERED AT 11:59:41 ON 28 OCT 2000)

DEL HIS  
E FRANTSITS W/AU  
L1 8 S E3-E7  
L2 11453 S BETA CAROTEN?  
L3 2684 S ISOPROPYLMYRISTATE OR (ISOPROPYL OR ISO PROPYL OR ISOPRANOL?)

FILE 'REGISTRY' ENTERED AT 12:01:46 ON 28 OCT 2000

L4 1 S 7235-40-7  
E C40H56/MF  
L5 102 S E3 AND 2/NR AND 46.150.2/RID  
L6 3 S L5 AND BIS  
L7 2 S L6 NOT EPSILON  
L8 101 S L5 AND CAROTEN?  
L9 95 S L8 AND BETA  
L10 53 S L9 NOT (LABELED OR ION OR (D OR T)/ELS OR 11C# OR 13C# OR 14C  
L11 36 S L10 NOT EPSILON  
L12 35 S L11 NOT 13C?  
L13 32 S L12 NOT RETRO  
L14 33 S L4,L7,L13  
L15 1 S 110-27-0  
E .ALPHA.-TOCOPHEROL/CN  
L16 1 S E3  
E FCN  
E DL-.ALPHA.-TOCOPHEROL/CN  
L17 3 S E3  
E L-.ALPHA.-TOCOPHEROL/CN  
L18 1 S E3  
E D-.ALPHA.-TOCOPHEROL/CN  
L19 1 S E3  
E ASCORBYL PALMITATE/CN  
L20 1 S E3  
E D-ASCORBIC ACID, 6-HEXADECANOATE/CN  
L21 1 S E3  
E DL-ASCORBIC ACID, 6-HEXADECANOATE/CN  
E ASCORBIC ACID, 6-HEXADECANOATE/CN  
E BENZYL ALCOHOL/CN  
L22 1 S E3

FILE 'HCAPLUS' ENTERED AT 12:08:23 ON 28 OCT 2000

L23 9754 S L14  
L24 12122 S L2,L23  
E SANOCHEMIA/PA,CS  
L25 19 S E3-E16  
L26 1 S L1,L25 AND L24  
E BERNER J/AU  
L27 7 S E3,E14  
E BERNER F/AU  
E WERNER F/AU  
L28 39 S E3,E7,E21  
E WERNER J/AU  
L29 112 S E3,E9  
L30 6 S E55,E56  
L31 1 S L24 AND L27-L30  
L32 1 S L26,L31

FILE 'REGISTRY' ENTERED AT 12:11:35 ON 28 OCT 2000

L33 1 S 61909-81-7

FILE 'HCAPLUS' ENTERED AT 12:13:27 ON 28 OCT 2000

L34 77 S L33  
L35 58 S T46155 OR T() (46155 OR 46 155) OR SOLUTOL() (HS15 OR HS 15)  
L36 12 S (PEG OR POLYETHYLENEGLYCOL OR POLY() (ETHYLENEGLYCOL OR ETHYLE

Point of Contact:  
Jan Delaval  
Librarian-Physical Sciences  
CM1 1E01 Tel: 308-4498

L37 87 S L34-L36  
 L38 4 S L24 AND L37  
 L39 2970 S L15 OR L3  
 L40 11 S L24 AND L39  
 L41 10443 S L16-L19  
 L42 14450 S ALPHA TOCOPHER?  
 L43 1470 S L24 AND L41,L42  
 L44 17559 S VITAMIN "E"  
 L45 1120 S L24 AND L44  
 L46 903 S L20,L21 OR ASCORBYL PALMITATE  
 L47 83 S L24 AND L46  
 L48 15051 S L22  
 L49 15960 S BENZYLALC? OR BENZYL ALCOHOL  
 L50 17 S L24 AND L48,L49  
 L51 1 S L38 AND L40,L43,L45,L47,L50  
 L52 3 S L38 NOT L51  
 L53 4 S L51,L52

=> fil hcaplus

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FILE COVERS 1967 - 28 Oct 2000 VOL 133 ISS 19  
 FILE LAST UPDATED: 27 Oct 2000 (20001027/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> d l53 all tot hitstr

L53 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2000 ACS  
 AN 2000:427973 HCAPLUS  
 DN 133:63965  
 TI Aqueous compositions containing .beta.-carotene  
 IN Berner, Josef Frantzits  
 PA Sanochemia Pharmazeutika A.-G., Austria  
 SO Jpn. Kokai Tokkyo Koho, 6 pp.  
 CODEN: JKXXAF  
 DT Patent  
 LA Japanese  
 IC ICM A61K031-01  
 ICS A61K047-34; C07C403-24  
 CC 63-6 (Pharmaceuticals)  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2000178187	A2	20000627	JP 1999-338225	19991129

EP 1016404 A1 20000705 EP 1999-890013 19990122

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO

PRAI AT 1998-2092 19981215

AB The present invention relates to a stable aq. prepn. contg. **.beta.-carotene**, esp. for veterinary uses and a method for prepg. the same. An aq. prepn. of **.beta.-carotene** for non-oral administration is obtained by (1) prepg. a transparent soln. contg. polyoxyethylene-660-hydroxystearate 10-40, **iso-Pr myristate** 5-20, and water for injection q.s. to 100 %, (2) solubilizing **.beta.-carotene** to the above soln. to the final concn. of 0.1-10 % at 100-140.degree., (3) adding antioxidants and preservatives, and (4) filter-sterilization of the soln. and packaging it.

ST parenteral carotene soln PEG stearate antioxidant

IT Drug delivery systems

(parenterals; stable aq. compns. contg. **.beta.-carotene**)

IT Antioxidants

Preservatives

(stable aq. compns. contg. **.beta.-carotene**)IT 100-51-6, **Benzyl alcohol**, biological studies110-27-0, **Isopropyl myristate** 137-66-6, **Ascorbyl palmitate** 7235-40-7,**.beta.-Carotene** 10191-41-0, DL-**.alpha.****-Tocopherol** 61909-81-7

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(stable aq. compns. contg. **.beta.-carotene**)IT 100-51-6, **Benzyl alcohol**, biological studies110-27-0, **Isopropyl myristate** 137-66-6, **Ascorbyl palmitate** 7235-40-7,**.beta.-Carotene** 10191-41-0, DL-**.alpha.****-Tocopherol** 61909-81-7

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(stable aq. compns. contg. **.beta.-carotene**)

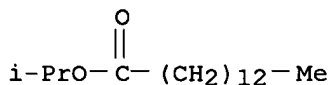
RN 100-51-6 HCAPLUS

CN Benzenemethanol (9CI) (CA INDEX NAME)

HO-CH<sub>2</sub>-Ph

RN 110-27-0 HCAPLUS

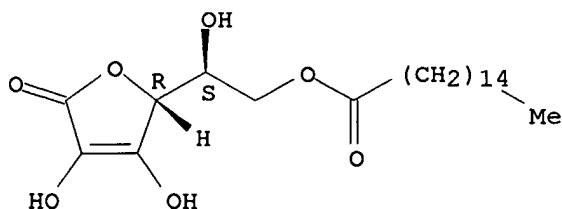
CN Tetradecanoic acid, 1-methylethyl ester (9CI) (CA INDEX NAME)



RN 137-66-6 HCAPLUS

CN L-Ascorbic acid, 6-hexadecanoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

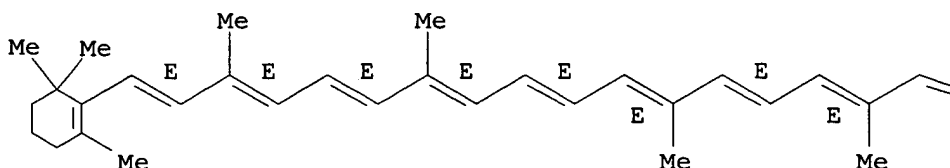


RN 7235-40-7 HCAPLUS

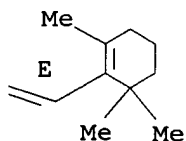
CN .beta.,.beta.-Carotene (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A

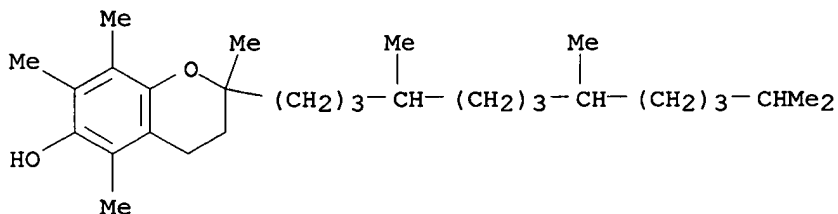


PAGE 1-B



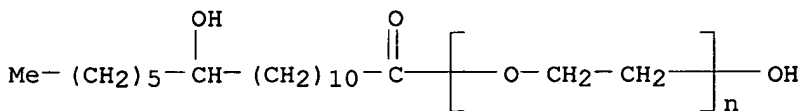
RN 10191-41-0 HCAPLUS

CN 2H-1-Benzopyran-6-ol, 3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)- (9CI) (CA INDEX NAME)



RN 61909-81-7 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), .alpha.-(12-hydroxy-1-oxooctadecyl)-.omega.-hydroxy- (9CI) (CA INDEX NAME)



L53 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2000 ACS

AN 1997:648932 HCAPLUS

DN 127:268054

TI Stable aqueous solutions of carotenoids and vitamins

IN Kolter, Karl; Runge, Frank

PA BASF A.-G., Germany

SO Ger. Offen., 6 pp.

CODEN: GWXXBX

DT Patent

LA German

IC ICM A61K031-375

ICS A61K031-355; A61K009-08; A61K031-07

ICI A61K031-375, A61K031-355, A61K031-07

CC 63-6 (Pharmaceuticals)

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19609477	A1	19970918	DE 1996-19609477	19960311
	EP 800825	A1	19971015	EP 1997-103484	19970304
	R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE, FI				
	CA 2199415	AA	19970911	CA 1997-2199415	19970306
	JP 09249554	A2	19970922	JP 1997-50801	19970306
	AU 9716204	A1	19970918	AU 1997-16204	19970307
	US 5891907	A	19990406	US 1997-813978	19970310
	CN 1165653	A	19971126	CN 1997-109610	19970311
PRAI	DE 1996-19609477		19960311		
AB	Stable, solubilized micellar aq. prepn. of carotenoids and vitamins or vitamin derivs., prepd. with the aid of a nonionic emulsifier, are prepd. for parenteral administration. If the content of lipophilic vitamins is at least as great as that of carotenoids, the amt. of nonionic emulsifier may be less than that required for these components sep., owing to interactions between the carotenoids and the lipophilic vitamins. Thus, tocopherol acetate 5.0, BHT 0.5, and <b>.beta.-carotene</b> 6.0 g were mixed with polyoxyethylene 12-hydroxystearate 23.0 g at 180.degree., and the mixt. was combined with a soln. of Na ascorbate 4.9 and ascorbic acid 0.1 g in 60.5 g H2O at 20.degree. and filtered.				
ST	carotenoid vitamin parenteral soln				
IT	Tocopherols				
	RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (esters; stable aq. solns. of carotenoids and vitamins)				
IT	Vitamins				
	RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (fat-sol.; stable aq. solns. of carotenoids and vitamins)				
IT	Emulsifying agents				
	(nonionic; stable aq. solns. of carotenoids and vitamins)				
IT	Micelles				
	Parenteral solutions (drug delivery systems)				
	Solubilizers				
	(stable aq. solns. of carotenoids and vitamins)				
IT	Carotenes, biological studies				
	Tocopherols				
	RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (stable aq. solns. of carotenoids and vitamins)				
IT	Esters, biological studies				
	RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (tocopherol; stable aq. solns. of carotenoids and vitamins)				
IT	Vitamins				
	RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (water-sol.; stable aq. solns. of carotenoids and vitamins)				
IT	9005-63-4D, Polyoxyethylenesorbitan, esters with fatty acids 41080-67-5				
	<b>61909-81-7</b> 106392-12-5, Polyoxyethylene/polyoxypropylene block copolymer				
	RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (solubilizer; stable aq. solns. of carotenoids and vitamins)				
IT	50-14-6, Ergocalciferol 50-81-7, L-Ascorbic acid, biological studies				
	58-56-0, Pyridoxine hydrochloride 58-95-7, Tocopheryl acetate 67-03-8, Thiamin hydrochloride 67-97-0, Cholecalciferol 68-26-8, Retinol 68-26-8D, Retinol, esters 81-13-0, Dexpanthenol 98-92-0, Nicotinamide 116-31-4, Retinal 130-40-5 134-03-2, Sodium ascorbate 144-68-3, Zeaxanthin 302-79-4, Retinoic acid 472-61-7, Astaxanthin 502-65-8, Lycopene 514-78-3, Canthaxanthin 616-91-1, N-Acetylcysteine 1962-15-8D, esters 3604-90-8, Citranaxanthin <b>7235-40-7</b> , <b>.beta.-Carotene</b> 7782-49-2D, Selenium, compds. 12676-20-9, Apocarotenal 17407-37-3				
	RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)				

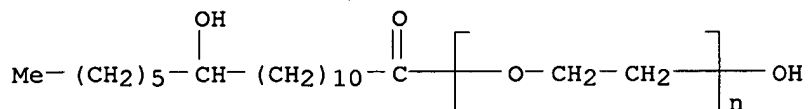
(stable aq. solns. of carotenoids and vitamins)

IT 61909-81-7

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(solubilizer; stable aq. solns. of carotenoids and vitamins)

RN 61909-81-7 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), .alpha.-(12-hydroxy-1-oxooctadecyl)-.omega.-hydroxy- (9CI) (CA INDEX NAME)



IT 7235-40-7, .beta.-Carotene

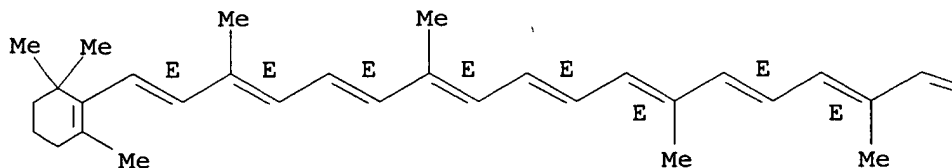
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(stable aq. solns. of carotenoids and vitamins)

RN 7235-40-7 HCAPLUS

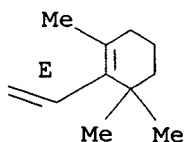
CN .beta.,.beta.-Carotene (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B



L53 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2000 ACS

AN 1997:282922 HCAPLUS

DN 126:325145

TI Effect of **beta-carotene** on histamine release from human mast cells and monocytes

AU Schmutzler, Wolfgang; Del Mar Gladis-Villanueva, Maria; Bolsmann, Karin; Braam, Ursula; Zwadlo-Klarwasser, Gabriele

CS Institute of Pharmacology and Toxicology, Medical Faculty RWTH, Aachen, D-52057, Germany

SO Int. Arch. Allergy Immunol. (1997), 113(1-3), 335-336  
CODEN: IAAIEG; ISSN: 1018-2438

PB Karger

DT Journal

LA English

CC 1-7 (Pharmacology)

Section cross-reference(s): 63

AB The **.beta.-carotene** solubilizer, **solutol**

**HS 15** inhibited histamine release from human mast cells and monocytes. **.beta.-Carotene** dose-dependently

inhibited histamine release from mast cells, with only little effect in monocytes. **.beta.-Carotene** also reduced the

solutol-induced release in mast cells. The results demonstrate a synergistic effect of **.beta.-carotene** and its solubilizer in human adenoidal and skin mast cells and suggest the use of this particular combination as an antiallergic or antiinflammatory drug.

ST mast cell histamine release carotene solutol; antiallergic  
antiinflammatory carotene solutol synergistic interaction

IT Allergy inhibitors  
Anti-inflammatory drugs  
Mast cell  
Monocyte  
Synergistic drug interactions  
(effect of **.beta.-carotene** and its solubilizer on histamine release from human mast cells and monocytes)

IT 7235-40-7, **.beta.-Carotene 61909-81-7**  
, Solutol HS 15  
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(effect of **.beta.-carotene** and its solubilizer on histamine release from human mast cells and monocytes)

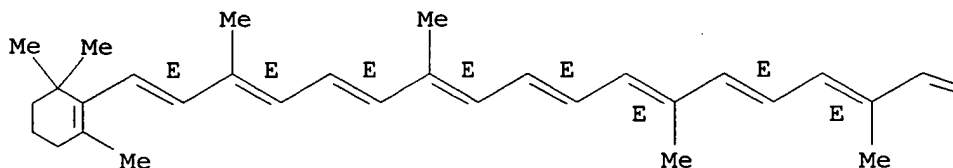
IT 51-45-6, Histamine, biological studies  
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)  
(effect of **.beta.-carotene** and its solubilizer on histamine release from human mast cells and monocytes)

IT 7235-40-7, **.beta.-Carotene 61909-81-7**  
, Solutol HS 15  
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(effect of **.beta.-carotene** and its solubilizer on histamine release from human mast cells and monocytes)

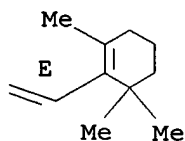
RN 7235-40-7 HCAPLUS  
CN **.beta.,.beta.-Carotene (9CI) (CA INDEX NAME)**

Double bond geometry as shown.

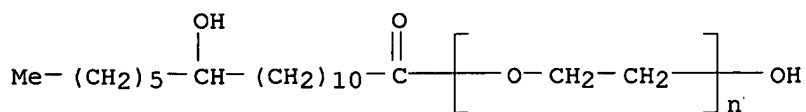
PAGE 1-A



PAGE 1-B



RN 61909-81-7 HCAPLUS  
CN Poly(oxy-1,2-ethanediyl), **.alpha.-(12-hydroxy-1-oxooctadecyl)-.omega.-hydroxy- (9CI) (CA INDEX NAME)**



L53 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2000 ACS

AN 1992:414431 HCAPLUS

DN 117:14431

TI Methods of preparing stable injectable soluble forms of **.beta.-carotene**

IN End, Lutz; Horn, Dieter; Lueddecke, Erik; Schneider, Joachim U.; Hoppe, Peter Paul; Rensmann, Friedrich Wilhelm

PA BASF A.-G., Germany

SO Eur. Pat. Appl., 7 pp.

CODEN: EPXXDW

DT Patent

LA German

IC ICM A61K009-08

ICS A61K031-07

CC 63-6 (Pharmaceuticals)

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 479066	A2	19920408	EP 1991-116027	19910920
	EP 479066	A3	19930310		
	EP 479066	B1	19941207		
	R: BE, CH, DE, DK, ES, FR, GB, IT, LI, NL				
	DE 4031094	A1	19920409	DE 1990-4031094	19901002
	CA 2051978	AA	19920403	CA 1991-2051978	19910920
	ES 2066306	T3	19950301	ES 1991-116027	19910920
	JP 04247028	A2	19920903	JP 1991-248638	19910927
	US 5453447	A	19950926	US 1991-769025	19911001

PRAI DE 1990-4031094 19901002

AB **.beta.-Carotene** is solubilized in a continuous process by briefly heating in the presence of an emulsifier until dissolved, rapidly cooling to <100.degree. by adding water, and then adjusting to the desired final concn. of **.beta.-carotene**. Heating of the suspension, initially at 20-80.degree., to 120-180.degree. is carried out by passing it through a coil suspended in an oil bath, with a residence time of 10-300 s. The resulting homogeneous soln. is subjected to turbulent mixing with water at 10-80.degree. in a mixing chamber to provide a 0.5-6% soln. of **.beta.-carotene** which is then further dild. The product has a micellar diam. of e.g. 20-30 nm. The emulsifier is e.g. 13-hydroxystearic acid ethoxylate contg. butylhydroxytoluene as antioxidant.

ST carotene solubilization injection

IT Micelles

(of **.beta.-carotene**, after solubilization for injection)

IT Mixing apparatus

(turbulent, in solubilization app. for **.beta.-carotene**)

IT Emulsifying agents

(**.beta.-carotene** solubilization with, for injection)

IT Solubilization

(app., for **.beta.-carotene** for injection)

IT Pharmaceutical dosage forms

(solns., **.beta.-carotene** solubilization for)

IT Heat-exchange apparatus

(tubular coils, in solubilization app. for **.beta.-carotene**)

IT 6811-73-0, 13-cis-**.beta.-Carotene**  
13312-52-2

RL: BIOL (Biological study)

(in **.beta.-carotene** injectable formulations, after solubilization)

IT 7235-40-7, **.beta.-Carotene**

RL: PROC (Process)

(solubilization of, for injection, app. for)

IT 61909-81-7



RL: BIOL (Biological study)  
(.beta.-carotene solubilization with, for  
injection)

IT 6811-73-0, 13-cis-.beta.-Carotene  
13312-52-2

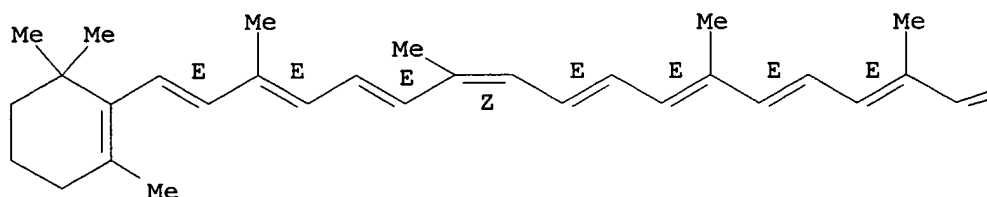
RL: BIOL (Biological study)  
(in .beta.-carotene injectable formulations, after  
solubilization)

RN 6811-73-0 HCAPLUS

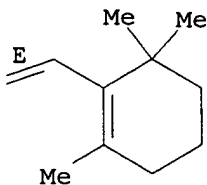
CN .beta.,.beta.-Carotene, 13-cis- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

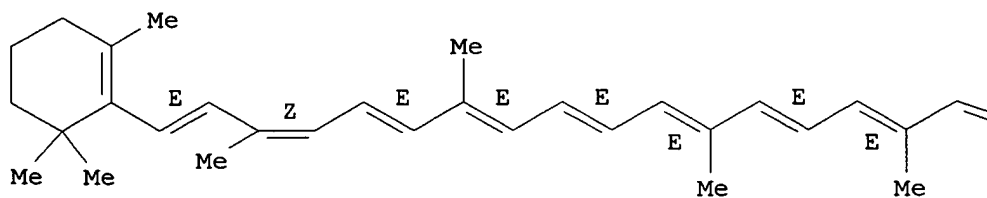


RN 13312-52-2 HCAPLUS

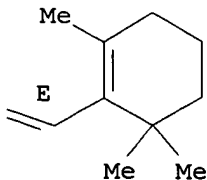
CN .beta.,.beta.-Carotene, 9-cis- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B



IT 7235-40-7, .beta.-Carotene

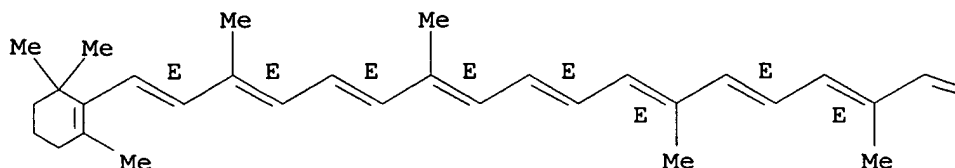
RL: PROC (Process)  
(solubilization of, for injection, app. for)

RN 7235-40-7 HCAPLUS

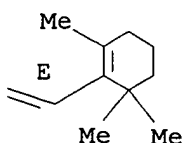
CN .beta.,.beta.-Carotene (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



PAGE 1-B

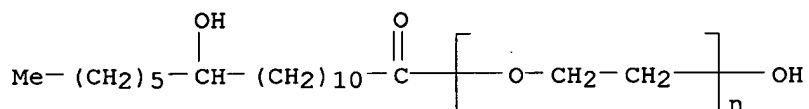


IT 61909-81-7

RL: BIOL (Biological study)  
(.beta.-carotene solubilization with, for  
injection)

RN 61909-81-7 HCAPLUS

CN Poly(oxy-1,2-ethanediyl), .alpha.-(12-hydroxy-1-oxooctadecyl)-.omega.-  
hydroxy- (9CI) (CA INDEX NAME)



=> fil wpids

FILE 'WPIDS' ENTERED AT 12:36:09 ON 28 OCT 2000  
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FILE LAST UPDATED: 23 OCT 2000 <20001023/UP>

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DERWENT WEEK FOR POLYMER INDEXING: 200053

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=> d his 154-

(FILE 'HCAPLUS' ENTERED AT 12:18:14 ON 28 OCT 2000)

FILE 'WPIDS' ENTERED AT 12:19:45 ON 28 OCT 2000

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L54      1 S E3
          E BETA CAROTENE/DCN
          E BETA-CAROTENE/DCN
          E R01862+ALL/DCN
L55      116 S E1
L56      8 S L35 OR L36
L57      23 S POLYOXYSTEARATE OR POLYOXY# STEARATE OR POLY() (OXYSTEARATE OR
L58      92 S (POLYETHYLENGLYCOL OR POLYETHYLENE GLYCOL OR POLY ETHYLENEG
L59      2 S PEG() (MONOSTEARATE OR MONO STEARATE)
L60      150 S 1862/DRN
L61      263 S L55-L60
L62      6390 S A10-E08A/MC OR L61
L63      896 S L2
          E R01662+ALL/DCN
L64      928 S E1 OR 1662/DRN
          E CAROTENE, BETA/DCN
L65      2485 S (B03-A OR C03-A)/MC
L66      21 S L63,L64,L65 AND L62
          E R14756+ALL/DCN
          E R04259+ALL/DCN
L67      327 S E1
L68      721 S L3
L69      1 S L67,L68 AND L66
L70      2 S R14756/DCN AND L66
L71      4 S ?TOCOPHER? AND L66
L72      5 S VITAMIN (L) "E" AND L66
L73      8 SEA V350/M0,M1,M2,M3,M4,M5,M6 AND L66
L74      135 S L46
          E ASCORBYL PALMITATE/DCN
          E E4+ALL/DCN
L75      81 S E2
L76      1 S L74,L75 AND L66
          E BENZYL ALCOHOL/DCN
          E E3+ALL/DCN
L77      0 S (E2 OR 0714/DRN) AND L66
L78      7 S (R00179/DCN OR 0179/DRN) AND L66
L79      13 S L54,L69-L73,L76,L78
L80      8 S L66 NOT L79
L81      18 S L79,L80 AND A61K/IC,ICM,ICS
L82      3 S L66 NOT L81
L83      1 S L82 AND A61M/IC,ICM,ICS
L84      19 S L81,L83

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FILE 'WPIDS' ENTERED AT 12:36:09 ON 28 OCT 2000

=&gt; d all abeq tech dcu tot

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L84  ANSWER 1 OF 19  WPIDS COPYRIGHT 2000  DERWENT INFORMATION LTD
AN   2000-549679 [50]  WPIDS
DNN  N2000-406690      DNC C2000-164113
TI   Topical compositions containing the active substance in micro-droplets of
      water insoluble liquid; use for wide variety of pharmaceutical, medicinal,
      vitamin, and cosmetic materials.
DC   A96 B07 D21 P34
IN   LULLA, A
PA   (AMAR-N) L'AMAR INT PVT LTD
CYC  1
PI   ZA 9907202  A  20000628 (200050)*      22p  A61M000-00      <--
ADT  ZA 9907202 A ZA 1999-7202 19991119
PRAI ZA 1998-11693  19981221
IC   ICM  A61M000-00
AB   ZA 9907202 A UPAB: 20001010
      NOVELTY - Composition for topical application, which includes an active

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substance in the form of micro-droplets of water insoluble liquid.

**MECHANISM OF ACTION** - Due to the finely divided particulate nature of the active substance, enhanced dermal penetration is achieved.

**USE** - Uses for the composition are in the medicinal, pharmaceutical, and cosmetic areas, to obtain a topical and/or systemic effect. A wide variety of drugs are suggested; steroids including estrogens, non-steroidal antiinflammatories, antibiotics, antifungals, antivirals, antihistamines, antineoplastics, hypnotics and sedatives, anxiolytics, antidepressants, anticonvulsants, antifungals, prostanoid agonists and antagonists, analgesics, hormones, vitamins, essential fatty acids, retinoids and carotenes, and benzoyl peroxide.

**ADVANTAGE** - As stated in Mechanism of Action, enhanced penetration is achieved by the finer particles. It is emphasized that the composition is not like liposome or microemulsion compositions, as these require large amounts of surfactants, a disadvantage.

Dwg. 0/0

FS CPI GMPI

FA AB; DCN

MC CPI: A03-A04A1; A04-D05A; A10-E01; A12-V01; A12-V04C; B01-D02; B02-Z;

**B03-A;** B03-H; B03-L; B04-B01C3; B04-C02A2; B04-C03; B04-J01;

B04-J02; B05-A01B; B05-A03B; B05-B02C; B05-C05; B06-A03; B06-D09;

B07-D03; B10-A04; B10-A10; B10-B01B; B10-B02A; B10-B03B; B10-C03;

B10-C04C; B10-C04E; B10-E02; B10-E04D; B12-M09; B14-A02; B14-A04;

B14-C01; B14-C03; B14-H01; B14-J01A1; B14-J01B1; B14-J01B2;

B14-J01B4; B14-J07; B14-L01; B14-L06; B14-L09; B14-R01; D08-B09A

UPTX: 20001010

TECH

**TECHNOLOGY FOCUS - PHARMACEUTICALS** - Preferred Product: The active substance is in oily excipients or solvents as solid or liquid particles in an aqueous medium. The product is in liquid or semi-solid form at room temperature. Preferred Components: Optional additions to the composition are skin penetration enhancers, surfactants, preservatives and/or antioxidants, chelating agents, and thickening and gelling agents for semi-solid forms. Preferred Composition: Six specific compositions are given in detail in the claims, except that the bioactive compound is not specified. These actually correspond to the six examples in the text, for diclofenac, fusidic acid, acyclovir, and doxepin, of which one is exemplified in Example. The others have similar excipients.

**TECHNOLOGY FOCUS - ORGANIC CHEMISTRY** - Preferred Components: The skin penetration enhancers include decyl methyl sulfoxide, N-dodecylpyrrolidone, decanol, dodecanol, or an organic acid, e.g., oleic acid. The surfactant is non-ionic, preferably an alkylene (ethylene or propylene) oxide condensate. Trade product surfactants include Tyloxapol, Poloxamer 4070, Poloxamer 188, Polyoxyl 40 stearate, Transcutol, Labrafac, Emulfor EL-620, Cremaphor, Polysorbate 80, Polysorbate 20, Tween, and Pluronic F-68. Preservatives include thimerosal, chlorbutanol, and methyl, ethyl, and propyl parabens. Antioxidants are oil phase, and include alpha-tocopherol and its succinate. The chelant is ethylenediamine tetraacetic acid (EDTA), or its salt. Thickening and gelling agents are cetostearyl alcohol, waxes, or inorganic or polymeric materials (see below).

**TECHNOLOGY FOCUS - INORGANIC CHEMISTRY** - Preferred Components: Inorganic thickening and gelling agents include fumed silica, alumina, clay, or other similar colloidal particles.

**TECHNOLOGY FOCUS - POLYMERS** - Preferred Components: The thickening/gelling agent is a carbopol, polyvinyl pyrrolidone (PVP), or hydroxypropyl methylcellulose (HPMC).

M1 \*06\* DCN: RA08LL-K; RA08LL-M

M1 \*07\* DCN: RA02AR-K; RA02AR-M

M1 \*08\* DCN: **R01862-K; R01862-M;** RA01UM-K; RA01UM-M

M1 \*09\* DCN: R01869-K; R01869-M

M1 \*10\* DCN: R01870-K; R01870-M

M1 \*11\* DCN: RA014C-K; RA014C-M

M1 \*12\* DCN: RA05UM-K; RA05UM-M

M1 \*13\* DCN: RA086A-K; RA086A-M

Carotenoids

M1 \*14\* DCN: RA0147-K; RA0147-M  
 M1 \*19\* DCN: RA00D5-K; RA00D5-M  
 M1 \*20\* DCN: RA01SX-K; RA01SX-M  
 M1 \*21\* DCN: R06563-K; R06563-M; R15976-K; R15976-M; RA083K-K; RA083K-M  
 M1 \*28\* DCN: RA00NG-K; RA00NG-M  
 M1 \*29\* DCN: R08017-K; R08017-M; RA09KM-K; RA09KM-M  
 M1 \*30\* DCN: RA012F-K; RA012F-M  
 M2 \*01\* DCN: R03008-K; R03008-M; R06850-K; R06850-M  
 M2 \*02\* DCN: R08376-K; R08376-M; R16750-K; R16750-M  
 M2 \*03\* DCN: R04178-K; R04178-M; RA04GU-K; RA04GU-M  
 M2 \*04\* DCN: R07411-K; R07411-M; R16161-K; R16161-M  
 M2 \*05\* DCN: R00610-K; R00610-M  
 M2 \*15\* DCN: R00179-K; R00179-M; R14756-K; R14756-M  
 M2 \*16\* DCN: R06891-K; R06891-M  
 M2 \*17\* DCN: R00195-K; R00195-M; R04870-K; R04870-M  
 M2 \*18\* DCN: R04366-K; R04366-M  
 M2 \*22\* DCN: RA014A-K; RA014A-M  
 M2 \*23\* DCN: R00274-K; R00274-M  
 M2 \*24\* DCN: RA0S4I-K; RA0S4I-M  
 M2 \*25\* DCN: R00948-K; R00948-M  
 M2 \*26\* DCN: R00950-K; R00950-M  
 M2 \*27\* DCN: R00954-K; R00954-M; R14104-K; R14104-M  
 M2 \*31\* DCN: R00086-K; R00086-M  
 M2 \*32\* DCN: R03055-K; R03055-M; R07040-K; R07040-M  
 M2 \*33\* DCN: R00689-K; R00689-M  
 M2 \*34\* DCN: R06250-K; R06250-M  
 M2 \*35\* DCN: R00607-K; R00607-M  
 M2 \*36\* DCN: R11063-K; R11063-M  
 M2 \*37\* DCN: R03266-K; R03266-M  
 M2 \*38\* DCN: R01694-K; R01694-M  
 M2 \*39\* DCN: R01544-K; R01544-M  
 M2 \*40\* DCN: R01745-K; R01745-M  
 M2 \*41\* DCN: R00743-K; R00743-M; R14152-K; R14152-M  
 M2 \*42\* DCN: R00271-K; R00271-M  
 M2 \*43\* DCN: R03191-K; R03191-M; R04271-K; R04271-M

L84 ANSWER 2 OF 19 WPIDS COPYRIGHT 2000 DERWENT INFORMATION LTD

AN 2000-425147 [37] WPIDS

DNC C2000-128958

TI Aqueous **beta-carotene** composition useful for treating reproductive dysfunction, especially in animals, comprises polyoxyethylene-660-hydroxystearate as solubilizer.

DC A96 B05 C03

IN FRANTSITS, W J

PA (SANO-N) SANOCHEMIA PHARM AG

CYC 28

PI EP 1016404 A1 20000705 (200037)\* DE 7p A61K031-015 <--  
 R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT  
 RO SE SI

AU 9964517 A 20000622 (200037) A61K047-32 <--

NZ 501583 A 20000623 (200037) A61K031-07 <--

JP 2000178187 A 20000627 (200042) 6p A61K031-01 <--

ADT EP 1016404 A1 EP 1999-890013 19990122; AU 9964517 A AU 1999-64517  
 19991214; NZ 501583 A NZ 1999-501583 19991206; JP 2000178187 A JP  
 1999-338225 19991129

PRAI AT 1998-2092 19981215

IC ICM A61K031-01; A61K031-015; A61K031-07;  
 A61K047-32

ICS A61K009-107; A61K047-12; A61K047-34;  
 C07C403-24

AB EP 1016404 A UPAB: 20000807

NOVELTY - Aqueous **beta-carotene** composition comprises at least polyoxyethylene-660-hydroxystearate (A) as solubilizer.  
 DETAILED DESCRIPTION - An INDEPENDENT CLAIM is included for preparation of the composition comprising adding **beta-carotene** to a heated, stirred aqueous solution of (A) and

optionally **isopropylmyristate**.

USE - The composition is especially used for the parenteral administration of **beta -carotene**, useful in the treatment of reproductive dysfunction, to improve immune function and in the treatment of endometriosis, especially in veterinary medicine.

ADVANTAGE - The compositions allow parenteral administration of **beta -carotene** and are storage stable.

Dwg.0/0

FS CPI

FA AB; DCN

MC CPI: **A10-E08A**; A12-V01; **B03-A**; B03-F; B03-H; B04-C03C; B10-G02; B14-D01; B14-G01; B14-N14; B14-P02; B14-S12; **C03-A**; C03-F; C03-H; C04-C03C; C10-G02; C14-D01; C14-G01; C14-N14; C14-P02; C14-S12

TECH UPTX: 20000807

TECHNOLOGY FOCUS - POLYMERS - Preferred Composition: The composition contains 10-40 (especially 15-20) wt.% (A).

TECHNOLOGY FOCUS - PHARMACEUTICALS - Preferred Composition: The composition contains 0.1-10 (especially 1-5) wt.% **beta-carotene**. It also contains:

(i) 5-20 (especially 5-10) wt.% **isopropylmyristate** as additional solubilizer;

(ii) 0.01-0.1 (especially 0.2-0.3 (sic)) wt.% antioxidant, especially **ascorbyl-palmitate** or DL-alpha-tocopherol.

Preferred Process: The process is carried out at 70-140 degreesC. The composition may be diluted with water for injection and the mixture is then cooled to 30 degreesC and a preservative (especially 10 mg/ml benzyl alcohol) added.

M1 \*02\* DCN: **R01862-K**; **R01862-T**; **R01862-M**; RA01UM-K; RA01UM-T; RA01UM-M

M1 \*03\* DCN: RA00I9-K; RA00I9-T; RA00I9-M

M2 \*01\* DCN: **R01662-K**; **R01662-T**; **R01662-M**

M2 \*04\* DCN: **R04259-K**; **R04259-T**; **R04259-M**

M2 \*05\* DCN: **R00179-K**; **R00179-T**; **R00179-M**; **R14756-K**; **R14756-T**; **R14756-M**

M2 \*06\* DCN: RA01Q6-K; RA01Q6-T; RA01Q6-M

← See page 34  
for definitions

L84 ANSWER 3 OF 19 WPIDS COPYRIGHT 2000 DERWENT INFORMATION LTD

AN 2000-272224 [24] WPIDS

DNC C2000-083209

TI Stable oil-in-water retinoid emulsion useful for skin care contains selected emulsifier(s) based on e.g. glyceryl stearate and polyethylene glycol (PEG) 30 stearate.

DC A96 B05 D21 E15

IN FILBRY, A; SATTler, H; ZELLE, D

PA (BEIE) BEIERSDORF AG

CYC 25

PI DE 19839402 A1 20000302 (200024)\* 5p A61K007-48 <--

EP 995428 A2 20000426 (200025) DE A61K007-48 <--

R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT  
RO SE SI

ADT DE 19839402 A1 DE 1998-19839402 19980829; EP 995428 A2 EP 1999-116724 19990826

PRAI DE 1998-19839402 19980829

IC ICM **A61K007-48**

AB DE 19839402 A UPAB: 20000522

NOVELTY - Oil-in-water emulsion containing retinoids contains one or more emulsifiers based on: (a) glyceryl stearate; (b) glyceryl stearate and polyethylene glycol (PEG) 30 stearate; (c) glyceryl stearate and ceteth 20; (d) cetearyl alcohol, PEG 40 castor oil and sodium cetearyl sulfate; and/or (e) sorbitan stearate.

USE - The composition is useful for skin care.

ADVANTAGE - The emulsion has outstanding storage stability and provides very good absorption of the active ingredient.

Dwg.0/0

FS CPI  
FA AB; DCN  
MC CPI: A10-E07C; A12-V04C; **B03-A**; B04-B01C1; B04-C03C; B07-A02A;  
B10-A09A; B10-E04C; B10-E04D; B10-G02; B12-M03; B14-N17; D08-B09A;  
E07-A02D; E10-A09A; E10-E04G; E10-E04K; E10-E04L5; E10-E04M1;  
E10-G02F2; E10-G02G2  
TECH UPTX: 20000522  
TECHNOLOGY FOCUS - PHARMACEUTICALS - Preferred Emulsifier: The emulsifier is either: (A) a mixture of Arlatone 983 (RTM: polyoxyethylene-5-glycerol stearate and glyceryl stearate) and Tegin M (RTM: glycerol mono/di/tristearate); (B) a mixture of Teginacid H (RTM: polyoxyethylene-20-cetyl ether and glyceryl stearate), Emulgade F (RTM: cetylstearyl alcohol, sodium cetylstearyl sulfate and polyoxyethylene-40-castor oil) and Arlcel 60 (RTM: sorbitan monostearate); (C) a mixture of Teginacid H (RTM) and Emulgade F (RTM) (preferred); or (D) a mixture of Emulgade F (RTM) and Arlcel 60 (RTM).  
Preferred Composition: The emulsion also contains an antioxidant and/or a chelating agent.

M1 \*03\* DCN: **R01862-K; R01862-M**; RA01UM-K; RA01UM-M  
M1 \*04\* DCN: RA00HN-K; RA00HN-M  
M1 \*05\* DCN: RA08PP-K; RA08PP-M  
M2 \*01\* DCN: R06818-K; R06818-M  
M2 \*02\* DCN: R00282-K; R00282-M  
M2 \*06\* DCN: R03191-K; R03191-M; R04271-K; R04271-M  
M2 \*07\* DCN: R03650-K; R03650-M  
M2 \*08\* DCN: R05220-K; R05220-M  
M2 \*09\* DCN: R03651-K; R03651-M  
M2 \*10\* DCN: R01539-K; R01539-M  
M2 \*11\* DCN: R00955-K; R00955-M  
M2 \*12\* DCN: R02069-K; R02069-M  
M2 \*13\* DCN: RA1N1X-K; RA1N1X-M  
M2 \*14\* DCN: RA1N1Y-K; RA1N1Y-M  
M3 \*01\* DCN: R06818-K; R06818-M  
M3 \*02\* DCN: R00282-K; R00282-M  
M3 \*06\* DCN: R03191-K; R03191-M; R04271-K; R04271-M  
M3 \*07\* DCN: R03650-K; R03650-M  
M3 \*08\* DCN: R05220-K; R05220-M  
M3 \*09\* DCN: R03651-K; R03651-M  
M3 \*10\* DCN: R01539-K; R01539-M  
M3 \*11\* DCN: R00955-K; R00955-M  
M3 \*12\* DCN: R02069-K; R02069-M  
M3 \*13\* DCN: RA1N1X-K; RA1N1X-M  
M3 \*14\* DCN: RA1N1Y-K; RA1N1Y-M

L84 ANSWER 4 OF 19 WPIDS COPYRIGHT 2000 DERWENT INFORMATION LTD  
AN 2000-271205 [23] WPIDS  
CR 1999-561826 [47]; 2000-414525 [36]  
DNC C2000-082715  
TI Topical cosmetic compositions comprising alpha-hydroxy acids, petroselinic acid to reduce the stinging and irritation caused by alpha-hydroxy acids and cosmetically acceptable vehicle.  
DC B05 D21 E17  
IN BRINKER, A M; JANUARIO, T E; PALANKER, L R; SANTHANAM, U; WEINKAUF, R L  
PA (UNIL) UNILEVER PLC; (HIND-N) HINDUSTAN LEVER LTD; (UNIL) UNILEVER NV  
CYC 85  
PI WO 2000015179 A2 20000323 (200023)\* EN 26p A61K007-00 <--  
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL  
OA PT SD SE SL SZ UG ZW  
W: AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB  
GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU  
LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR  
TT UA UG UZ VN YU ZA ZW  
AU 9942597 A 20000403 (200034) A61K007-00 <--  
ADT WO 2000015179 A2 WO 1999-EP3234 19990505; AU 9942597 A AU 1999-42597  
19990505  
FDT AU 9942597 A Based on WO 200015179

PRAI US 1998-150841 19980910

IC ICM **A61K007-00**

AB WO 200015179 A UPAB: 20000801

NOVELTY - A composition comprising alpha-hydroxy acids 0.01-20% by weight, petroselinic acid 0.05-20% by weight, and a cosmetically acceptable vehicle, is new.

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is also included for a cosmetic method of reducing sting or irritation induced by the topical application of an alpha hydroxy acid containing composition. The method comprises topically applying petroselinic acid.

ACTIVITY - Anti-irritant; cosmetic; anti-wrinkle.

MECHANISM OF ACTION - Prostaglandin E 2 (PGE2) induction inhibitor. The anti-inflammatory potential of test compounds was determined by the ability of the compound to inhibit interleukin (IL) 1 alpha -induced PGE2 using neonatal human dermal fibroblasts seeded in tissue culture-treated plates containing Dulbecco's Modified Eagle Medium (DMEM) and treated with 200 mu l DMEM + L-glutamine containing IL-1 alpha at 1 ng/ml and/or active. The cells were treated with control (1), IL-1 alpha (2), IL-1 alpha + petroselinic acid at 0.01% (3), or IL-1 alpha + petroselinic acid at 0.001% (4). Assay results for PGE2 were as follows (pg/ml): 267.6 plus or minus 48.6 (1), 598.2 plus or minus 118.3 (2), 201.2 plus or minus 40.1 (3), and 308.3 plus or minus 97.2 (4), respectively. The percentage decrease compared to IL-1 alpha was 120% asterisk for (3) and 80% for (4) ( asterisk = statistically significant at p less than 0.05 compared with (2). The results showed that petroselinic acid can effectively inhibit the induction of PGE2 caused by IL-1 alpha , which in turn is released by alpha-hydroxy acids, thus being effective in reducing the irritation caused by alpha-hydroxy acids.

USE - The compositions are used for topical application to human skin, especially as agents for conditioning or smoothing the skin, and to prevent or reduce the appearance of wrinkled or aged skin. They are used for application to wrinkled, rough, dry, flaky, aged and/or ultraviolet-damaged skin to improve its appearance and feel as well as for application to healthy skin to prevent or retard its deterioration.

ADVANTAGE - Petroselinic acid reduces the sting or irritation caused by topical application of alpha-hydroxy acids.

Dwg.0/0

FS CPI

FA AB; DCN

MC CPI: B01-D02; **B03-A**; B04-C03C; B05-C01; B10-B01B; B10-C04D;

B10-E04C; B14-R01; D08-B09A; E10-C04D4; E10-C04H

TECH UPTX: 20000516

TECHNOLOGY FOCUS - PHARMACEUTICALS - Preferred compositions: The amount of hydroxy acid, preferably glycolic acid/and or lactic acid, is 0.1-12% by weight. The amount of petroselinic acid is 0.1-10, preferably 0.5-5% by weight. The vehicle is at least 60% by weight water. The composition may also contain a thickener, e.g. cross-linked polyacrylates, or gums such as xanthan gum, in the amount 0.1-20, preferably 0.5-10% by weight. The composition can contain other minor components, such as coloring agents, opacifiers and perfumes in the range 0.001-20% by weight. Powders, such as chalk, talc, Fullers earth, starch and fumed silica, may be incorporated into the composition.

M1 \*14\* DCN: **R01862-K**; **R01862-M**

M1 \*15\* DCN: R02044-K; R02044-M

M1 \*16\* DCN: R01859-K; R01859-M; RA016G-K; RA016G-M

M1 \*17\* DCN: RA012F-K; RA012F-M

M2 \*01\* DCN: R01534-K; R01534-M

M2 \*02\* DCN: R00448-K; R00448-M; R09538-K; R09538-M

M2 \*03\* DCN: R03804-K; R03804-M

M2 \*04\* DCN: R00137-K; R00137-M

M2 \*05\* DCN: R06818-K; R06818-M

M2 \*06\* DCN: R10225-K; R10225-M

M2 \*07\* DCN: R02069-K; R02069-M

M2 \*08\* DCN: R04120-K; R04120-M

M2 \*09\* DCN: R23476-K; R23476-M

M2 \*10\* DCN: RA03C0-K; RA03C0-M



M2 \*11\* DCN: R00113-K; R00113-M  
 M2 \*12\* DCN: R22532-K; R22532-M  
 M2 \*13\* DCN: RA015U-K; RA015U-M  
 M3 \*01\* DCN: R01534-K; R01534-M  
 M3 \*02\* DCN: R00448-K; R00448-M; R09538-K; R09538-M  
 M3 \*03\* DCN: R03804-K; R03804-M  
 M3 \*04\* DCN: R00137-K; R00137-M  
 M3 \*05\* DCN: R06818-K; R06818-M  
 M3 \*06\* DCN: R10225-K; R10225-M  
 M3 \*07\* DCN: R02069-K; R02069-M  
 M3 \*08\* DCN: R04120-K; R04120-M  
 M3 \*09\* DCN: R23476-K; R23476-M  
 M3 \*10\* DCN: RA03C0-K; RA03C0-M  
 M3 \*11\* DCN: R00113-K; R00113-M  
 M3 \*12\* DCN: R22532-K; R22532-M  
 M3 \*13\* DCN: RA015U-K; RA015U-M  
 M5 \*18\* DCN: R00148-K; R00148-M

L84 ANSWER 5 OF 19 WPIDS COPYRIGHT 2000 DERWENT INFORMATION LTD

AN 2000-156642 [14] WPIDS

DNC C2000-048758

TI Composition for preventing pollinosis - contains water swelling clay mineral of predetermined purity and mean particle diameter as active ingredient.

DC A96 B06 D21

PA (LIOY) LION CORP

CYC 1

PI JP 2000016941 A 20000118 (200014)\* 11p A61K035-02 <--

ADT JP 2000016941 A JP 1998-196798 19980626

PRAI JP 1998-196798 19980626

IC ICM **A61K035-02**

ICS **A61K007-00**

ICA A61K007-02; A61K007-50

AB JP2000016941 A UPAB: 20000320

NOVELTY - The composition contains water swelling property clay mineral (I) of purity 90% or more as active ingredient, whose mean particle diameter, as measured by dynamic light scattering method, is 1-5000 nm and zeta potential value is 30 mV or more.

USE - Used for preventing pollinosis in the form of ointment, nasal drop, eye drop and as cosmetics.

ACTIVITY - Antiallergic.

MECHANISM OF ACTION - Pollinic antigen in activator. Japanese cedar pollen (0.01 g) was taken in 96 wells micro plate and one drop of 0.5% aqueous solution containing sodium dodecyl sulphate, polyoxyethylene lauryl ether and hydroxy ethane diphosphoric acid was added to each well. After 10 minutes the percentage rate of splitting of pollen was computed. Results showed that the composition containing (I) had favourable pollen breaking effect.

ADVANTAGE - The pollinic antigen inside is effectively inactivated without mucous membrane irritation.

Dwg. 0/0

FS CPI

FA AB; DCN

MC CPI: **A10-E08A**; A12-V01; A12-V04C; **B03-A**; B03-H;

B04-C03C; B04-D02; B05-A01A; B05-B02A3; B05-B02C; B05-C05; B07-D09; B10-A17; B10-B01B; B10-B02J; B10-E04C; B14-G02A; B14-N03; B14-R01; D08-B

M1 \*14\* DCN: R02044-M

M1 \*15\* DCN: R08181-M

M2 \*01\* DCN: 0014-BPP01-K; 0014-BPP01-M; 0014-BPP01-T

M2 \*02\* DCN: R00247-K; R00247-M; R00247-T

M2 \*03\* DCN: R00205-K; R00205-M; R00205-T

M2 \*04\* DCN: R06551-K; R06551-M; R06551-T

M2 \*05\* DCN: R03126-K; R03126-M; R03126-T

M2 \*06\* DCN: R04366-K; R04366-M; R04366-T

M2 \*07\* DCN: R04546-K; R04546-M; R04546-T

M2 \*08\* DCN: R00137-K; R00137-M; R00137-T  
M2 \*09\* DCN: R05327-K; R05327-M; R05327-T  
M2 \*10\* DCN: R01688-K; R01688-M; R01688-T  
M2 \*11\* DCN: R01745-K; R01745-M; R01745-T  
M2 \*12\* DCN: **R00179-K; R00179-M; R00179-T**  
M2 \*13\* DCN: R06818-K; R06818-M; R06818-T

L84 ANSWER 6 OF 19 WPIDS COPYRIGHT 2000 DERWENT INFORMATION LTD

AN 1999-024317 [02] WPIDS

DNC C1999-007528

TI Skin care composition comprising a retinoid compound - and a preservative component which does not impact retinoid stability containing a formaldehyde donor and a halopropynyl compound,.

DC B04 B07 D21 E19

IN DECKNER, G E; SANOGUEIRA, J P; ZUKOWSKI, J M

PA (PROC) PROCTER & GAMBLE CO

CYC 82

PI WO 9852536 A1 19981126 (199902)\* EN 66p A61K007-48 <--  
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL  
OA PT SD SE SZ UG ZW  
W: AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB GE  
GH GM GW HU ID IL IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG  
MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG  
UZ VN YU ZW

AU 9874964 A 19981211 (199917) A61K007-48 <--

EP 986368 A1 20000322 (200019) EN A61K007-48 <--

R: AT BE CH DE DK ES FI FR GB GR IE IT LI LU NL PT SE

ADT WO 9852536 A1 WO 1998-US10168 19980518; AU 9874964 A AU 1998-74964  
19980518; EP 986368 A1 EP 1998-922411 19980518, WO 1998-US10168 19980518

FDT AU 9874964 A Based on WO 9852536; EP 986368 A1 Based on WO 9852536

PRAI US 1997-862772 19970523

IC ICM **A61K007-48**

AB WO 9852536 A UPAB: 19990122

Skin care composition comprises: (a) 0.005-2% of a retinoid; and (b) 0.001-5 (preferably 0.05-0.2)% of a preservative component comprising: (i) a formaldehyde donor; and (iii) a halopropynyl compound selected from iodopropargyl esters, ethers, acetals, carbamates and/or carbonates.

USE - The composition is useful for regulating skin condition and improving the quality of skin, especially human facial skin. It may be used for treating visible and/or tactile discontinuities in skin, e.g. crevices, bumps, pores, fine lines, wrinkles, scales and/or flakes. Application is topical.

ADVANTAGE - The composition contains a preservative system which does not impact retinoid stability or bioavailability.

Dwg.0/0

FS CPI

FA AB; DCN

MC CPI: **B03-A**; B05-A01B; B06-D17; B07-A04; B07-D09; B10-A11B;  
B10-A12C; B10-E04C; B10-G03; B10-H02D; B14-N17; B14-R01; D08-B09A;  
E06-D13; E07-A04; E07-D09D; E10-A12C2; E10-B02D6; E10-E04J; E10-G02F2

M1 \*12\* DCN: R08017-K; R08017-M

M1 \*13\* DCN: **R01862-K; R01862-M**

M2 \*01\* DCN: R04779-K; R04779-M

M2 \*02\* DCN: R18794-K; R18794-M

M2 \*03\* DCN: R02069-K; R02069-M

M2 \*04\* DCN: R10127-K; R10127-M

M2 \*05\* DCN: R04366-K; R04366-M

M2 \*06\* DCN: R00113-K; R00113-M

M2 \*07\* DCN: R04120-K; R04120-M

M2 \*08\* DCN: R00122-K; R00122-M

M2 \*09\* DCN: R00955-K; R00955-M

M2 \*10\* DCN: R01085-K; R01085-M

M2 \*11\* DCN: R06818-K; R06818-M

M3 \*01\* DCN: R04779-K; R04779-M

M3 \*02\* DCN: R18794-K; R18794-M

M3 \*03\* DCN: R02069-K; R02069-M

M3 \*04\* DCN: R10127-K; R10127-M  
 M3 \*05\* DCN: R04366-K; R04366-M  
 M3 \*06\* DCN: R00113-K; R00113-M  
 M3 \*07\* DCN: R04120-K; R04120-M  
 M3 \*08\* DCN: R00122-K; R00122-M  
 M3 \*09\* DCN: R00955-K; R00955-M  
 M3 \*10\* DCN: R01085-K; R01085-M  
 M3 \*11\* DCN: R06818-K; R06818-M

L84 ANSWER 7 OF 19 WPIDS COPYRIGHT 2000 DERWENT INFORMATION LTD

AN 1999-024316 [02] WPIDS

DNC C1999-007527

TI Topical composition for improving the appearance of skin - comprises a pigmentary grade particulate material, e.g. titanium di oxide and a **vitamin-B3** compound or a retinoid.

DC B07 D21 E19

IN DAWES, N C; SANOGUEIRA, J P; SINE, M R

PA (PROC) PROCTER & GAMBLE CO

CYC 83

PI WO 9852533 A1 19981126 (199902)\* EN 57p A61K007-48 <--  
 RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL  
 OA PT SD SE SZ UG ZW  
 W: AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB GE  
 GH GM GW HU ID IL IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG  
 MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG  
 UZ VN YU ZW

AU 9875705 A 19981211 (199917) A61K007-48 <--

US 5997890 A 19991207 (200004) A61K007-00 <--

EP 983053 A1 20000308 (200017) EN A61K007-48 <--

R: AT BE CH DE DK ES FI FR GB GR IE IT LI LU NL PT SE

CZ 9904153 A3 20000412 (200026) A61K007-02 <--

BR 9809465 A 20000620 (200038) A61K007-48 <--

ADT WO 9852533 A1 WO 1998-US9720 19980513; AU 9875705 A AU 1998-75705  
 19980513; US 5997890 A CIP of US 1997-862776 19970523, US 1998-56028  
 19980406; EP 983053 A1 EP 1998-923403 19980513, WO 1998-US9720 19980513;  
 CZ 9904153 A3 WO 1998-US9720 19980513, CZ 1999-4153 19980513; BR 9809465 A  
 BR 1998-9465 19980513, WO 1998-US9720 19980513

FDT AU 9875705 A Based on WO 9852533; EP 983053 A1 Based on WO 9852533; CZ  
 9904153 A3 Based on WO 9852533; BR 9809465 A Based on WO 9852533

PRAI US 1998-56028 19980406; US 1997-862776 19970523

IC ICM **A61K007-00; A61K007-02; A61K007-48**

ICS **A61K007-42**

AB WO 9852533 A UPAB: 19990113

Topical skin composition comprises: (a) 0.3-2% of pigmentary grade particulate material which has a refractive index of at least 2 (preferably 2-3) and a neat primary particle size of 100-300 (preferably 200-250) nm; (b) an active agent selected from **vitamin B3** compounds and/or retinoids for regulating skin conditions; and (c) a topical carrier.

USE - The composition is used for improving the appearance or other condition of the skin. It can provide good coverage of skin imperfections, such as pores and uneven skin tone, while retaining a natural skin appearance. The composition can also be used for regulating signs of skin aging e.g., the appearance of lines, wrinkles or pores.

ADVANTAGE - The composition imparts an immediate visual improvement in skin appearance.

Dwg. 0/0

FS CPI

FA AB; DCN

MC CPI: **B03-A; B05-A03A; B07-D04C; B12-M02F; B14-R01; D08-B09A;**

E07-D04C; E35-C; E35-K02; E35-L

M1 \*10\* DCN: R08017-K; R08017-M

M1 \*11\* DCN: **R01862-K; R01862-M**

M2 \*01\* DCN: R02069-K; R02069-M

M2 \*02\* DCN: R00113-K; R00113-M

? *carotenoid*

M2 \*03\* DCN: R00678-K; R00678-M  
M2 \*04\* DCN: R00122-K; R00122-M  
M2 \*05\* DCN: R00955-K; R00955-M  
M2 \*06\* DCN: R01966-K; R01966-M  
M2 \*07\* DCN: R00123-K; R00123-M  
M2 \*08\* DCN: R01520-K; R01520-M  
M2 \*09\* DCN: R01521-K; R01521-M  
M3 \*01\* DCN: R02069-K; R02069-M  
M3 \*02\* DCN: R00113-K; R00113-M  
M3 \*03\* DCN: R00678-K; R00678-M  
M3 \*04\* DCN: R00122-K; R00122-M  
M3 \*05\* DCN: R00955-K; R00955-M  
M3 \*06\* DCN: R01966-K; R01966-M  
M3 \*07\* DCN: R00123-K; R00123-M  
M3 \*08\* DCN: R01520-K; R01520-M  
M3 \*09\* DCN: R01521-K; R01521-M

L84 ANSWER 8 OF 19 WPIDS COPYRIGHT 2000 DERWENT INFORMATION LTD

AN 1999-024314 [02] WPIDS

DNC C1999-007525

TI High level hydration composition for regulating signs of skin ageing -  
comprises a vitamin-B3 compound and a conditioning component which has a  
Hydration Factor greater than 0.

DC A96 B07 D21 E19

IN BOYD, R A; DECKNER, G E; SANOGUEIRA, J P; ZUKOWSKI, J M

PA (PROC) PROCTER & GAMBLE CO

CYC 81

PI WO 9852529 A1 19981126 (199902)\* EN 56p A61K007-48 <--

RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL  
OA PT SD SE SZ UG ZW

W: AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB GE  
GH GM GW HU ID IL IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG  
MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG  
UZ VN YU ZW

AU 9870747 A 19981211 (199917) A61K007-48 <--

ADT WO 9852529 A1 WO 1998-IB782 19980520; AU 9870747 A AU 1998-70747 19980520

FDT AU 9870747 A Based on WO 9852529

PRAI US 1997-863089 19970523

IC ICM **A61K007-48**

AB WO 9852529 A UPAB: 19990113

High level hydration composition comprises: (a) 0.01-50 (preferably  
0.1-10) wt.% of a vitamin B3 compound; and (b) 1-99 wt.% of a conditioning  
component which has a Hydration Factor > 0 (preferably greater than 1.5).

USE - The composition is capable of regulating the signs of skin  
aging, especially for regulating visible and/or tactile discontinuities in  
mammalian skin texture, including crevices, bumps, pores, fine lines,  
wrinkles, scales and/or flakes. The composition can also be used for  
promoting exfoliation of the skin. Application is especially topical.

ADVANTAGE - The composition is believed to act by strengthening the  
energy state of cells which regulate exfoliation, resulting in  
normalisation of epidermal differentiation and keratinisation

Dwg.0/0

FS CPI

FA AB; DCN

MC CPI: A12-V04C; **B03-A**; B03-H; B04-B01B; B04-B01C1; B04-B01C2;  
B04-N02; B05-A01B; B05-B01P; B10-E04C; B10-G02; B10-H01; B14-N17;  
B14-R01; D08-B09A; E01; E07-D03; E07-D04C; E10-A07; E10-C04; E10-E04;  
E10-G02; E10-H01

M1 \*17\* DCN: R24034-K; R24034-M

M1 \*18\* DCN: R24033-K; R24033-M

M1 \*19\* DCN: R08017-K; R08017-M

M1 \*20\* DCN: R02044-K; R02044-M

M1 \*21\* DCN: **R01862-K**; **R01862-M**

M2 \*01\* DCN: R02069-K; R02069-M

M2 \*02\* DCN: R10127-K; R10127-M

M2 \*03\* DCN: R00508-K; R00508-M

M2 \*04\* DCN: R07332-K; R07332-M  
 M2 \*05\* DCN: R00195-K; R00195-M  
 M2 \*06\* DCN: R01168-K; R01168-M  
 M2 \*07\* DCN: R00113-K; R00113-M  
 M2 \*08\* DCN: R00290-K; R00290-M  
 M2 \*09\* DCN: R00678-K; R00678-M  
 M2 \*10\* DCN: R00972-K; R00972-M  
 M2 \*11\* DCN: R00137-K; R00137-M  
 M2 \*12\* DCN: R06818-K; R06818-M  
 M2 \*13\* DCN: R00032-K; R00032-M  
 M2 \*14\* DCN: R00122-K; R00122-M  
 M2 \*15\* DCN: R00955-K; R00955-M  
 M2 \*16\* DCN: R10762-K; R10762-M  
 M2 \*22\* DCN: R01966-K; R01966-M  
 M2 \*23\* DCN: R03906-K; R03906-M  
 M2 \*24\* DCN: R01085-K; R01085-M  
 M2 \*25\* DCN: R00977-K; R00977-M  
 M2 \*26\* DCN: R00545-K; R00545-M  
 M3 \*01\* DCN: R02069-K; R02069-M  
 M3 \*02\* DCN: R10127-K; R10127-M  
 M3 \*03\* DCN: R00508-K; R00508-M  
 M3 \*04\* DCN: R07332-K; R07332-M  
 M3 \*05\* DCN: R00195-K; R00195-M  
 M3 \*06\* DCN: R01168-K; R01168-M  
 M3 \*07\* DCN: R00113-K; R00113-M  
 M3 \*08\* DCN: R00290-K; R00290-M  
 M3 \*09\* DCN: R00678-K; R00678-M  
 M3 \*10\* DCN: R00972-K; R00972-M  
 M3 \*11\* DCN: R00137-K; R00137-M  
 M3 \*12\* DCN: R06818-K; R06818-M  
 M3 \*13\* DCN: R00032-K; R00032-M  
 M3 \*14\* DCN: R00122-K; R00122-M  
 M3 \*15\* DCN: R00955-K; R00955-M  
 M3 \*16\* DCN: R10762-K; R10762-M  
 M3 \*22\* DCN: R01966-K; R01966-M  
 M3 \*23\* DCN: R03906-K; R03906-M  
 M3 \*24\* DCN: R01085-K; R01085-M  
 M3 \*25\* DCN: R00977-K; R00977-M  
 M3 \*26\* DCN: R00545-K; R00545-M

L84 ANSWER 9 OF 19 WPIDS COPYRIGHT 2000 DERWENT INFORMATION LTD

AN 1998-009671 [02] WPIDS

DNC C1998-003610

TI External use medicine for treatment of seborrhoeic alopecia.

DC A96 B04

IN MEI, X

PA (MEIX-I) MEI X

CYC 1

PI CN 1133177 A 19961016 (199802)\*

A61K035-78 <--

ADT CN 1133177 A CN 1995-103687 19950411

PRAI CN 1995-103687 19950411

IC ICM **A61K035-78**

AB CN 1133177 A UPAB: 19980112

External medicine for treatment of seborrhoeic alopecia comprises tuber of multiflower knotweed, drynaria rhizome, glossy ganoderma, red sage root, rhizome of chuanxiong, safflower, hot pepper, tert-butyl p- hydroxy anisole, 2,6 di-tert-butyl p-cresol, citric acid, tween-80, 13-cis-vitamin A acid, and 75% alcohol.

ADVANTAGE - The medicine is effective and has no side-effects.

FS CPI

FA AB

MC CPI: **A10-E08A**; A12-V01; **B03-A**; B04-A10; B04-C03C;

B10-C02; B10-E02; B14-R02

\*\*\*\* NO CHEMICAL AND POLYMER INDEXING AVAILABLE FOR THIS ACCESSION NUMBER

L84 ANSWER 10 OF 19 WPIDS COPYRIGHT 2000 DERWENT INFORMATION LTD

AN 1997-501202 [46] WPIDS  
DNC C1997-159223  
TI Anti-cancer composition for local treatment of malignant tumours -  
comprises thio-phosphamide, retinol acetate in oil, **tocopherol**  
acetate, sunflower oil, tween-20 and water for injection.  
DC A96 B02 B05  
IN BORISOV, V I; CHISOV, V I; DEMIDOV, V P  
PA (MOON-R) MOSC ONCOLOGY INST  
CYC 1  
PI RU 2077885 C1 19970427 (199746)\* 4p A61K031-66 <--  
ADT RU 2077885 C1 SU 1992-5067517 19920625  
PRAI SU 1992-5067517 19920625  
IC ICM **A61K031-66**  
AB RU 2077885 C UPAB: 19971119  
Anti-cancer composition for local treatment of malignant tumours  
comprises: 60 mg thiophosphamide, and (per ml): 25000 ME of retinol  
acetate in oil, 0.01 **tocopherol** acetate, 1 sunflower oil, 0.5  
tween-20, and water for injection 7.  
USE - The composition is useful in the treatment of different  
malignant tumours of various localisations and for palliative therapy of  
inoperable patients.  
ADVANTAGE - The composition has increased effectiveness in  
anti-cancer chemotherapy compared with previous compositions, and avoids  
some of their drawbacks, e.g. emulsion instability, and rapid exit of  
cytostatics from the tumour zone.  
Dwg.0/0  
FS CPI  
FA AB; DCN  
MC CPI: **A10-E08A**; A12-V01; **B03-A**; B04-B01C1; B05-B01L;  
B14-H01  
M2 \*02\* DCN: R00062-M  
M2 \*03\* DCN: R04124-M  
M2 \*04\* DCN: R01085-M

L84 ANSWER 11 OF 19 WPIDS COPYRIGHT 2000 DERWENT INFORMATION LTD  
AN 1997-457182 [42] WPIDS  
DNC C1997-145881  
TI Chemically and physically stable topical skin care composition -  
comprising oil-in-water emulsion containing retinoid as active agent and  
stabilising system containing antioxidant and/or chelating agent.  
DC A96 B05 D21 E15  
IN ALELES, M A; COLE, C A; HAMADA, S; HOLLAND, J P; KAZAMA, S; LIU, J;  
MATHER, K; STAHL, C R; WANG, J C T; WISNIEWSKI, S J; YAMAMOTO, N; YUSUF,  
M; STAHL, C S; WANG, J C  
PA (JOHJ) JOHNSON & JOHNSON CONSUMER PROD; (JOHJ) JOHNSON & JOHNSON CONSUMER  
CO INC  
CYC 76  
PI WO 9731620 A2 19970904 (199742)\* EN 106p A61K007-48 <--  
RW: AT BE CH DE DK EA ES FI FR GB GH GR IE IT KE LS LU MC MW NL OA PT  
SD SE SZ UG  
W: AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB GE  
HU IL IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX  
NO NZ PL PT RO RU SD SE SG SI SK TJ TM TR TT UA UG US UZ VN  
AU 9719817 A 19970916 (199803) A61K007-48 <--  
EP 885000 A2 19981223 (199904) EN A61K007-48 <--  
R: AT BE CH DE DK ES FI FR GB GR IE IT LI NL PT SE  
CZ 9802715 A3 19990414 (199921) A61K007-48 <--  
CN 1226819 A 19990825 (199952) A61K007-48 <--  
US 5976555 A 19991102 (199953) A61K031-07 <--  
BR 9710405 A 19990817 (199954) A61K007-48 <--  
HU 9902658 A2 19991228 (200010) A61K007-48 <--  
ADT WO 9731620 A2 WO 1997-US3169 19970228; AU 9719817 A AU 1997-19817  
19970228; EP 885000 A2 EP 1997-907948 19970228, WO 1997-US3169 19970228;  
CZ 9802715 A3 WO 1997-US3169 19970228, CZ 1998-2715 19970228; CN 1226819 A  
CN 1997-192616 19970228; US 5976555 A US 1996-609588 19960301; BR 9710405  
A BR 1997-10405 19970228, WO 1997-US3169 19970228; HU 9902658 A2 WO

1997-US3169 19970228, HU 1999-2658 19970228  
 FDT AU 9719817 A Based on WO 9731620; EP 885000 A2 Based on WO 9731620; CZ  
 9802715 A3 Based on WO 9731620; BR 9710405 A Based on WO 9731620; HU  
 9902658 A2 Based on WO 9731620  
 PRAI US 1997-807351 19970227; US 1996-609588 19960301  
 IC ICS **A61K007-48; A61K031-07**  
 ICS **A61K007-00; A61K009-107; B32B015-08; B65D065-40**  
 AB WO 9731620 A UPAB: 19990503

A skin-care composition (A) of pH 4-10 comprises an oil-in-water (O/W) emulsion and at least one retinoid selected from **vitamin A** aldehyde, **vitamin A** alcohol, retinyl palmitate and retinyl acetate. The oil phase of (A) has a relatively low level of unsaturation. (A) includes a stabilising system consisting of at least one oil-soluble antioxidant and/or a chelating agent, or a chelating agent and an antioxidant present in each of the oil and water phases of the emulsion. (A) retains at least 70% of the retinoids after 13 weeks storage at 40 deg. C. Another claimed skin care composition (A') comprises at least one retinoid, as defined for (A), and an irritation-mitigating oil phase or an irritation-mitigating agent.

USE - Compositions containing retinoids (i.e. (A), (A') and (B) in which a retinoid is present) are useful for combatting skin conditions such as acne, photoageing and sun damage. Compositions (B) may contain other active agents (e.g. sunscreens or antioxidant **vitamins** to protect skin against ageing; depigmentation agents; steroidal or other antiinflammatory agents; azole-type antifungal or antibacterial agents) instead of or (preferably) in addition to retinoids

ADVANTAGE - The retinoids can be stabilised against chemical degradation by incorporating them in into O/W emulsions having a specific stabilising system. The O/W emulsion formulations are chemically and physically stable, suitable for use on skin and cosmetically elegant. The possible irritant effects of retinoids may also be mitigated. Stability can be further improved by packaging the emulsions out of contact with oxygen.

Dwg. 0/4

FS CPI  
 FA AB; DCN  
 MC CPI: A12-V01; A12-V04C; **B03-A**; B04-C03B; B12-M03; B14-N17;  
 B14-R01; B14-S08; D08-B09A; E10-D01D; E10-G02F2

M1 \*01\* DCN: 9742-21101-M  
 M1 \*26\* DCN: **R01862-M**  
 M1 \*27\* DCN: R01871-M  
 M2 \*02\* DCN: 9742-21102-M  
 M2 \*03\* DCN: R00185-M  
 M2 \*04\* DCN: R01085-M  
 M2 \*05\* DCN: R00977-M  
 M2 \*06\* DCN: R06818-M  
 M2 \*07\* DCN: R00279-M  
 M2 \*08\* DCN: R00503-M  
 M2 \*09\* DCN: R00252-M  
 M2 \*10\* DCN: R00035-M  
 M2 \*11\* DCN: R00007-M  
 M2 \*12\* DCN: R00276-M  
 M2 \*13\* DCN: **R00179-M**  
 M2 \*14\* DCN: R14120-M  
 M2 \*15\* DCN: R05220-M  
 M2 \*16\* DCN: R03651-M  
 M2 \*17\* DCN: R03652-M  
 M2 \*18\* DCN: R03191-M  
 M2 \*19\* DCN: R03650-M  
 M2 \*20\* DCN: R02069-M  
 M2 \*21\* DCN: R00955-M  
 M2 \*22\* DCN: R01893-M  
 M2 \*23\* DCN: R01541-M  
 M2 \*24\* DCN: R01966-M  
 M2 \*25\* DCN: R01520-M  
 M2 \*28\* DCN: R00172-M



See page 34

M2 \*29\* DCN: R00467-M  
M2 \*30\* DCN: R14355-M  
M2 \*31\* DCN: R07936-M  
M2 \*32\* DCN: R20406-M  
M2 \*33\* DCN: R12629-M  
M2 \*34\* DCN: R07362-M  
M2 \*35\* DCN: R02025-M  
M2 \*36\* DCN: R19240-M  
M2 \*37\* DCN: R00297-M  
M2 \*38\* DCN: R01041-M  
M2 \*39\* DCN: R01291-M  
M2 \*40\* DCN: R10750-M  
M2 \*41\* DCN: R13169-M  
M2 \*42\* DCN: R01539-M  
M2 \*43\* DCN: R02053-M  
M3 \*02\* DCN: 9742-21102-M  
M3 \*03\* DCN: R00185-M  
M3 \*04\* DCN: R01085-M  
M3 \*05\* DCN: R00977-M  
M3 \*06\* DCN: R06818-M  
M3 \*07\* DCN: R00279-M  
M3 \*08\* DCN: R00503-M  
M3 \*09\* DCN: R00252-M  
M3 \*10\* DCN: R00035-M  
M3 \*11\* DCN: R00007-M  
M3 \*12\* DCN: R00276-M  
M3 \*13\* DCN: **R00179-M**  
M3 \*14\* DCN: R14120-M  
M3 \*15\* DCN: R05220-M  
M3 \*16\* DCN: R03651-M  
M3 \*17\* DCN: R03652-M  
M3 \*18\* DCN: R03191-M  
M3 \*19\* DCN: R03650-M  
M3 \*20\* DCN: R02069-M  
M3 \*21\* DCN: R00955-M  
M3 \*22\* DCN: R01893-M  
M3 \*23\* DCN: R01541-M  
M3 \*24\* DCN: R01966-M  
M3 \*25\* DCN: R01520-M  
M3 \*28\* DCN: R00172-M  
M3 \*29\* DCN: R00467-M  
M3 \*30\* DCN: R14355-M  
M3 \*31\* DCN: R07936-M  
M3 \*32\* DCN: R20406-M  
M3 \*33\* DCN: R12629-M  
M3 \*34\* DCN: R07362-M  
M3 \*35\* DCN: R02025-M  
M3 \*36\* DCN: R19240-M  
M3 \*37\* DCN: R00297-M  
M3 \*38\* DCN: R01041-M  
M3 \*39\* DCN: R01291-M  
M3 \*40\* DCN: R10750-M  
M3 \*41\* DCN: R13169-M  
M3 \*42\* DCN: R01539-M  
M3 \*43\* DCN: R02053-M  
M5 \*44\* DCN: R00011-M

L84 ANSWER 12 OF 19 WPIDS COPYRIGHT 2000 DERWENT INFORMATION LTD

AN 1997-064817 [06] WPIDS

CR 1997-244845 [22]

DNC C1997-021293

TI Treatment of cellulite conditions - comprises chronically disrupting  
barrier function of stratum corneum and inhibiting barrier repair.

DC B05 D21

IN SMITH, W P

PA (KAYM-N) KAY INC MARY



CYC 27  
 PI US 5587396 A 19961224 (199706)\* 14p A61K031-19 <--  
 WO 9714412 A1 19970424 (199722)# EN 53p A61K031-19 <--  
 RW: AT BE CH DE DK ES FR GB GR IE IT LU MC NL PT SE  
 W: AU BR CA CN HU JP MX PL RU  
 AU 9539583 A 19970507 (199735)# A61K031-19 <--  
 EP 866693 A1 19980930 (199843)# EN A61K031-19 <--  
 R: AT BE CH DE DK ES FR GB GR IE IT LI LU MC NL PT SE  
 BR 9510653 A 19990105 (199907)# A61K031-19 <--  
 CN 1200671 A 19981202 (199916)# A61K031-19 <--  
 JP 11513683 W 19991124 (200006)# 40p A61K007-00 <--  
 HU 78125 T 19991228 (200010)# A61K031-19 <--  
 MX 9803065 A1 19980801 (200014)# A61K031-19 <--  
 AU 722070 B 20000720 (200040)# A61K031-19 <--  
 ADT US 5587396 A US 1994-296513 19940826; WO 9714412 A1 WO 1995-US13310  
 19951018; AU 9539583 A AU 1995-39583 19951018, WO 1995-US13310 19951018;  
 EP 866693 A1 EP 1995-937481 19951018, WO 1995-US13310 19951018; BR 9510653  
 A BR 1995-10653 19951018, WO 1995-US13310 19951018; CN 1200671 A CN  
 1995-197988 19951018, WO 1995-US13310 19951018; JP 11513683 W WO  
 1995-US13310 19951018, JP 1997-515770 19951018; HU 78125 T WO 1995-US13310  
 19951018, HU 1999-2036 19951018; MX 9803065 A1 MX 1998-3065 19980417; AU  
 722070 B AU 1995-39583 19951018, WO 1995-US13310 19951018  
 FDT AU 9539583 A Based on WO 9714412; EP 866693 A1 Based on WO 9714412; BR  
 9510653 A Based on WO 9714412; JP 11513683 W Based on WO 9714412; HU 78125  
 T Based on WO 9714412; AU 722070 B Previous Publ. AU 9539583, Based on WO  
 9714412  
 PRAI US 1994-296513 19940826; WO 1995-US13310 19951018; AU 1995-39583  
 19951018; EP 1995-937481 19951018; BR 1995-10653 19951018; CN  
 1995-197988 19951018; JP 1997-515770 19951018; HU 1999-2036  
 19951018; MX 1998-3065 19980417  
 REP US 5051449; US 5215759; US 5391373  
 IC ICM **A61K007-00; A61K031-19**  
 ICS **A61K006-00; A61K007-48; A61K031-00;**  
**A61K031-07; A61K031-60; A61K031-605;**  
**A61K031-61; A61K031-62; A61K031-70;**  
**A61K045-00**  
 AB US 5587396 A UPAB: 20000823  
 The following are claimed: (A) ameliorating cellulite conditions,  
 comprising application of a topical treatment compsn. to skin areas  
 overlying cellulite, the treatment compsn. being effective to chronically  
 disrupt the barrier function of the stratum corneum and to inhibit barrier  
 repair; (B) ameliorating cellulite conditions, comprising application of a  
 topical treatment to skin areas overlying cellulite, the treatment being  
 effective to disrupt the water barrier function of the stratum corneum and  
 to induce chronic elevated trans-epidermal water loss for a period of from  
 8 weeks until amelioration of cellulite is achieved. The treatment is  
 selected from skin water barrier disruption treatments consisting of  
 application of exfoliants in soln., mechanical abrasion and solvent  
 extraction of hydrophobic skin barrier components; (C) cellulite treatment  
 compsn. for topical application to cellulite-afflicted skin areas, the  
 compsn. being effective to disrupt the barrier function of the stratum  
 corneum. The compsn. comprises: (a) 1-15 wt. % (based on the wt. of the  
 compsn.) of a pH-reducing, hydroxycarboxylic acid; (b) 0.005-6 wt. %  
 (based on the wt. of the compsn.) of a retinoid cell renewal stimulant;  
 and (c) 0.01-5 wt.% (based on the wt. of the compsn.) of a cerebroside  
 barrier repair inhibitor to inhibit repair of the skin's water barrier;  
 (D) cellulite treatment compsn. comprising an agent to disrupt the barrier  
 function of the stratum corneum, a cell renewal stimulant and a barrier  
 repair inhibitor to inhibit repair of the skin's water barrier.  
 USE - The process disrupts water barrier properties of the skin in  
 areas overlying cellulite-afflicted tissues for a period of time  
 sufficient to provoke skin renewal and regeneration of blood vessels.  
 Dwg. 0/0  
 FS CPI  
 FA AB; DCN  
 MC CPI: **B03-A**; B10-C04B; B10-C04D; B14-N17; D08-B09A

M1 \*06\* DCN: R01862-M  
 M2 \*01\* DCN: R00448-M  
 M2 \*02\* DCN: R00009-M  
 M2 \*03\* DCN: R17344-M  
 M2 \*04\* DCN: R03875-M  
 M2 \*05\* DCN: R06818-M

L84 ANSWER 13 OF 19 WPIDS COPYRIGHT 2000 DERWENT INFORMATION LTD

AN 1995-380023 [49] WPIDS

DNC C1995-164006

TI Stabilisation of gamma-oryzanol soln. for injury treatment - by adding anti oxidant pref. ascorbic acid.

DC B01 B03

PA (NISB) JAPAN TOBACCO INC

CYC 1

PI JP 07258165 A 19951009 (199549)\* 6p C07C069-734

ADT JP 07258165 A JP 1994-77929 19940322

PRAI JP 1994-77929 19940322

IC ICM C07C069-734

ICS A23L003-3463; A61K007-00; A61K031-56; C07C067-62;  
 C07J009-00; C09K015-06

AB JP 07258165 A UPAB: 19951211

Stabilization of gamma-oryzanol soln. is carried out by addition of aq. anti-oxidizing agent and/or aq. colouring agent. Also claimed is gamma-oryzanol soln. contg. an anti-oxidising agent and/or aq. colouring agent.

Aq. anti-oxidising agent is pref. ascorbic acid and/or its salts. Aq. colouring agent is pref. **beta-carotene** and/or yellow No. 5 pigment. Gamma-oryzanol soln. pref. contains **vitamin B2**.

Aq. anti-oxidizing agent is e.g. ascorbic acid (**vitamin C**), ascorbic acid salts e.g. ascorbic acid sodium salts and ascorbic acid calcium salts, erythorbic acid (iso-**vitamin C**), erythorbic acid salts and butylhydroxyanisole (BHA).

The amt. of aq. anti-oxidising agent is 0.05-2 wt.%, pref. 0.2-1 wt.%. Examples of aq. colouring agent are yellow No.5 pigment, **beta-carotene**, copper chlorophyll, copper chlorophyll salts and phenol red. The amt. of aq. colouring agent is 0.0001-0.01 wt.%, pref. 0.001-0.004 wt.%.

USE/ADVANTAGE - Gamma-oryzanol is used as medicine for the treatment of various symptoms caused by head and neck injuries, or climacteric disturbance and autonomic imbalance; eutrophic medicine, or inhibitor of colour, denaturation or oxidation. Gamma-oryzarinol is stable against light over a long period of time.

EXAMPLE - Thiamine nitrate (10 mg), sodium phosphate, riboflavine (5 mg), pyridoxine hydrochloride (20 mg), nicotinamide (30 mg), gamma-oryzanol (5 mg), white sugar (5500 mg), D-sorbitol (79%) (2000 mg), citric acid (100 mg), dl-malic acid (50 mg), sodium benzoate (30 mg), propylene glycol (50 mg), **polyoxy stearate** (40 (50 mg), ascorbic acid (250 mg) and **beta-carotene** (1.0 mg) were mixed to give aq. soln. (50 ml) for drinks. Soln. was sealed in brown glass, and kept at 25 deg. C under irradiation of light. The amt. of gamma-oryzanol was measured on time course. The results showed that the amt. of gamma-oryzanol after treatment with  $1.2 \times 10^6$  lux hr. was 93%, whereas that of the control without ascorbic acid and **beta-carotene** was 20%.

Dwg.0/1

FS CPI

FA AB

MC CPI: B09-B; B12-M07; B14-L06; B14-N16

L84 ANSWER 14 OF 19 WPIDS COPYRIGHT 2000 DERWENT INFORMATION LTD

AN 1995-233111 [31] WPIDS

DNC C1995-107568

TI Compsn. to treat acne by treating deep and surface layers of skin - comprises two types of vesicle contg. the same or different active ingredients, one penetrating deep layers, the other surface layers.

DC A96 B05 D21 E19  
 IN FANCHON, C; RIBIER, A; SEGOT, E; SIMONNET, J  
 PA (OREA) L'OREAL SA  
 CYC 17  
 PI EP 661036 A1 19950705 (199531)\* FR 12p A61K007-00 <--  
 R: AT BE CH DE ES FR GB IT LI NL SE  
 FR 2714602 A1 19950707 (199532) 17p A61K009-133 <--  
 CA 2138873 A 19950701 (199539) FR A61K007-48 <--  
 BR 9405482 A 19950919 (199547) A61K007-48 <--  
 JP 07309781 A 19951128 (199605) 9p A61K045-00 <--  
 EP 661036 B1 19960828 (199639) FR 8p A61K007-00 <--  
 R: AT BE CH DE ES FR GB IT LI NL SE  
 DE 69400428 E 19961002 (199645) A61K007-00 <--  
 ES 2094034 T3 19970101 (199708) A61K007-00 <--  
 HU 71722 T 19960129 (199738) A61K007-48 <--  
 US 5679374 A 19971021 (199748) 7p A61K009-127 <--  
 JP 2714541 B2 19980216 (199812) 9p A61K007-00 <--  
 CA 2138873 C 19991214 (200018) FR A61K007-48 <--  
 RU 2128506 C1 19990410 (200024) A61K009-127 <--  
 ADT EP 661036 A1 EP 1994-402895 19941215; FR 2714602 A1 FR 1993-15865  
 19931230; CA 2138873 A CA 1994-2138873 19941222; BR 9405482 A BR 1994-5482  
 19941229; JP 07309781 A JP 1994-326411 19941227; EP 661036 B1 EP  
 1994-402895 19941215; DE 69400428 E DE 1994-600428 19941215; EP  
 1994-402895 19941215; ES 2094034 T3 EP 1994-402895 19941215; HU 71722 T HU  
 1994-3821 19941229; US 5679374 A US 1994-367422 19941230; JP 2714541 B2 JP  
 1994-326411 19941227; CA 2138873 C CA 1994-2138873 19941222; RU 2128506 C1  
 RU 1994-45285 19941229  
 FDT DE 69400428 E Based on EP 661036; ES 2094034 T3 Based on EP 661036; JP  
 2714541 B2 Previous Publ. JP 07309781  
 PRAI FR 1993-15865 19931230  
 REP 1.Jnl.Ref; EP 571063; US 4217344  
 IC ICM **A61K007-00; A61K007-48; A61K009-127;**  
**A61K009-133; A61K045-00**  
 ICS **A61K031-575; A61K047-44**  
 AB EP 661036 A UPAB: 19950810  
 Anti-acne compsn. for the simultaneous treatment of the superficial and  
 the deep layers of skin, comprises: (i) a dispersion of lipidic vesicles  
 capable of penetrating the deep layers of skin and contg. 1  
 antimicrobial, antiseptic, antibiotic, anti-inflammatory or  
 antiseborrhoeic agent or retinol or one of its derivs.; (ii) a vesicular  
 dispersion capable of penetrating the superficial layers of skin and  
 contg. 1 keratolytic, protective, moisturising or antioxidant agent.  
 USE - The compsn. is used to treat acne, esp. in the form of an  
 ointment (all claimed). The compsn. can also be used in aq. gels,  
 emulsions, lotions, etc. and partic. as oil droplets dispersed by the  
 vesicles (FR-A-2485921 and FR-A-2490504).  
 ADVANTAGE - The means of delivering the same or different active  
 agents to the superficial and deep layers of skin, simultaneously, is not  
 available in prior art.  
 Dwg.0/0  
 FS CPI  
 FA AB; DCN  
 MC CPI: A12-V01; B01-D02; B02-C; B02-Z; **B03-A**; B04-B01B; B04-C03C;  
 B05-A03A; B05-B01P; B07-A03; B07-D10; B10-A17; B10-C02; B10-E02;  
 B12-M02; B14-N17; D08-B09A; E10-E04M1; E25-B03  
 ABEQ EP 661036 B UPAB: 19961004  
 Anti-acne composition for the simultaneous treatment of the surface layers  
 and deep layers of the skin, characterized in that it comprises a first  
 dispersion of lipid vesicles which are capable of penetrating into the  
 deep layers of the skin and which contains at least one first active agent  
 chosen from antimicrobial agents, antiseptic agents, antibiotics,  
 anti-inflammatory agents, anti-seborrhoeic agents, retinol and the  
 derivatives thereof, for treating these deep layers, and a second  
 dispersion of lipid vesicles which are capable of penetrating into the  
 surface layers of the skin and which contain at least one second active  
 agent chosen from keratolytic agents, protective agents, moisturizing

agents and anti-oxidants, for treating these surface layers, on condition that: 1) if a first active agent is retinol or derivatives thereof, a second active agent is not a keratolytic agent or a moisturizing agent; 2) if a first active agent is an anti-inflammatory agent, a second active agent is not a keratolytic agent, a protective agent or a moisturizing agent.

Dwg.0/0

ABEQ US 5679374 A UPAB: 19971209

An anti-ache composition for the simultaneous treatment of the layers of the stratum corneum and deep layers of the skin comprising a dispersion mixture of:

(a) a first dispersion of lipid vesicles which are capable of penetrating into the deep layers of the skin and containing at least one active agent selected from the group consisting of antimicrobial agents, anti-inflammatory agents, anti-seborrhoeic agents, retinol and retinol compounds, for treating these deep layers; and

(b) a second dispersion of lipid vesicles which are capable of penetrating into the layers of the stratum corneum of the skin and which contain at least one active agent selected from the group consisting of keratolytic agents, protective agents, moisturizing agents and anti-oxidants, for treating these layers of the stratum corneum,

and wherein said vesicles of said first dispersion ensure a distribution of N-(1-oxyl-2,2,6,6-tetramethyl-4-piperidyl)-N-dimethyl-N-hydroxyethylammonium iodide (ASL) in the stratum corneum  $>1 \times 10^{-7}$  cm<sup>2</sup>/s and in that said vesicles of said second dispersion ensure a distribution of ASL in the stratum corneum  $<1 \times 10^{-7}$  cm<sup>2</sup>/s.

Dwg.0/0

M1 \*09\* DCN: R01862-M  
 M2 \*01\* DCN: R01833-M  
 M2 \*03\* DCN: R10728-M  
 M2 \*04\* DCN: R04124-M  
 M2 \*06\* DCN: R00113-M  
 M2 \*07\* DCN: R11382-M  
 M2 \*08\* DCN: R02049-M  
 M2 \*10\* DCN: 9531-14301-M  
 M3 \*03\* DCN: R10728-M  
 M3 \*05\* DCN: R04124-M  
 M3 \*06\* DCN: R00113-M  
 M3 \*07\* DCN: R11382-M  
 M3 \*08\* DCN: R02049-M  
 M3 \*10\* DCN: 9531-14301-M  
 M3 \*11\* DCN: R01833-M  
 M5 \*02\* DCN: R00148-M

L84 ANSWER 15 OF 19 WPIDS COPYRIGHT 2000 DERWENT INFORMATION LTD

AN 1995-082000 [11] WPIDS

DNC C1995-036796

TI A cosmetic microemulsion compsn. - comprises water, alkanol, oil selected from vitamin oil, and/or terpene(s), castor oil ethoxylated with ethylene oxide and propoxylated alkyl ether.

DC A96 D21

IN BARROW, S R; SLAVTCHEFF, C S

PA (UNIL) UNILEVER PLC; (CHEO) CHESEBROUGH PONDS USA CO; (UNIL) UNILEVER NV

CYC 59

PI WO 9503772 A1 19950209 (199511)\* EN 22p A61K007-00 <--

RW: AT BE CH DE DK ES FR GB GR IE IT KE LU MC MW NL OA PT SD SE

W: AM AT AU BB BG BR BY CA CH CN CZ DE DK ES FI GB GE HU JP KE KG KP

KR KZ LK LT LU LV MD MG MN MW NL NO NZ PL PT RO RU SD SE SI SK TJ

TT UA UZ VN

CA 2113231 A 19950131 (199517) A61K007-48 <--

AU 9476100 A 19950228 (199522) A61K007-00 <--

ZA 9405712 A 19951129 (199601) 19p A61K000-00 <--

US 5484597 A 19960116 (199609) 5p A61K007-46 <--

EP 711139 A1 19960515 (199624) EN A61K007-00 <--

R: CH DE ES FR GB IT LI NL SE

JP 09500890 W 19970128 (199714) 24p A61K007-00 <--

EP 711139 B1 19970507 (199723) EN 9p A61K007-00 <--  
 R: CH DE ES FR GB IT LI NL SE  
 DE 69403082 E 19970612 (199729) A61K007-00 <--  
 ES 2102876 T3 19970801 (199737) A61K007-00 <--  
 TW 310275 A 19970711 (199743) A61K007-02 <--

ADT WO 9503772 A1 WO 1994-EP2519 19940729; CA 2113231 A CA 1994-2113231  
 19940111; AU 9476100 A AU 1994-76100 19940729; ZA 9405712 A ZA 1994-5712  
 19940801; US 5484597 A US 1993-99879 19930730; EP 711139 A1 EP 1994-926130  
 19940729, WO 1994-EP2519 19940729; JP 09500890 W WO 1994-EP2519 19940729,  
 JP 1995-505571 19940729; EP 711139 B1 EP 1994-926130 19940729, WO  
 1994-EP2519 19940729; DE 69403082 E DE 1994-603082 19940729, EP  
 1994-926130 19940729, WO 1994-EP2519 19940729; ES 2102876 T3 EP  
 1994-926130 19940729; TW 310275 A TW 1994-109070 19940930

FDT AU 9476100 A Based on WO 9503772; EP 711139 A1 Based on WO 9503772; JP  
 09500890 W Based on WO 9503772; EP 711139 B1 Based on WO 9503772; DE  
 69403082 E Based on EP 711139, Based on WO 9503772; ES 2102876 T3 Based on  
 EP 711139

PRAI US 1993-99879 19930730  
 REP 2.Jnl.Ref; EP 261351; EP 571677; 1.Jnl.Ref  
 IC A61K007-50  
 ICM A61K000-00; A61K007-00; A61K007-02;  
 A61K007-46; A61K007-48  
 ICS A61K007-50

AB WO 9503772 A UPAB: 19950322  
 A cosmetic microemulsion compsn. comprises: (i) 1-99% water; (ii) 1-99% of  
 a 1-4C alkanol; (iii) 0.1-20% of an oil selected from vitamin oils and/or  
 10-60C terpenes; (iv) 0.1-20% of castor oil ethoxylated with 30-55 moles  
 of ethylene oxide per mole of castor oil; and (v) 0.1-20% of a  
 propoxylated alkyl ether comprising a 4-20C mono- or di-hydric alkanol  
 propoxylated with 5-50 mole of propylene oxide per mole of alkanol.  
 USE - The compsns. include lotions, creams, sticks, roll-on  
 formulations, mousses, aerosol sprays, pad-applied formulations and  
 overnight peelable facial masks.  
 ADVANTAGE - The compsn. is quick drying and imparts a cooling  
 sensation. The micelles of the compsn. are sufficiently small that they do  
 not appreciably diffract light, thereby producing a clear prod. The  
 compsns. are storage stable.  
 Dwg.0/0

FS CPI  
 FA AB  
 MC CPI: A10-E07; A10-E08A; A12-V04; D08-B05; D08-B09A  
 ABEQ US 5484597 A UPAB: 19960305  
 Cosmetic microemulsion compositions which are clear and storage stable  
 comprising: (i) from about 1 to about 99% of water; (ii) from about 15 to  
 about 70% of ethanol; (iii) from about 0.1 to about 3% of skin nutritive  
 oil selected from the group consisting of vitamin oils, C10-C60 terpenes  
 and mixtures of it; (iv) from about 1 to about 10% of castor oil  
 ethoxylated with about 40 to about 55 moles of ethylene oxide per mole of  
 castor oil; and (v) from about 0.1 to about 2.0% of a propoxylated mono-  
 or di-hydric alkanol selected from the group consisting of PPG-10 cetyl  
 ether and PPG-10 butanediol.  
 Dwg.0/0

ABEQ EP 711139 B UPAB: 19970606  
 A cosmetic microemulsion composition comprising: i) from 1 to 75% water;  
 ii) from 1 to 70% of a 1-4C alkanol; iii) from 0.1 to 20% of one or more  
 vitamin oils; iv) from 0.1 to 20% of castor oil ethoxylated with 30 to 55  
 moles of ethylene oxide per mole of castor oil; and v) from 0.1 to 20% of  
 a propoxylated alkyl ether comprising a 4-209C mono- or di-hydric alkanol  
 propoxylated with 5 to 50 moles of propylene oxide per molecule of  
 alkanol.  
 Dwg.0/0

L84 ANSWER 16 OF 19 WPIDS COPYRIGHT 2000 DERWENT INFORMATION LTD  
 AN 1994-022822 [03] WPIDS  
 DNC C1994-010435  
 TI New soln. in which vitamin-A analogue can be stably dissolved - comprises

vitamin-A analogue, polyoxyethylene hardening castor oil and non-ionic surfactant giving prod. which can be used for medical agents or food.

DC A96 B05 D13 E15  
PA (LIOY) LION CORP  
CYC 1

PI JP 05331056 A 19931214 (199403)\* 5p A61K031-07 <--

ADT JP 05331056 A JP 1992-162141 19920527

PRAI JP 1992-162141 19920527

IC ICM **A61K031-07**  
ICS **A61K009-08; A61K031-23; A61K047-14;**  
**A61K047-44**

AB JP 05331056 A UPAB: 19940303

A new soln. stably dissolving a **vitamin A** analogue comprises (a) **vitamin A** analogue, (b) polyoxyethylene hardening castor oil whose HLB value is more than 10 (100-600 wt.% of **vitamin A** analogue) and (c) a non-ionic surfactant whose HLB value is 2-9 (10-250 wt.% of **vitamin A** analogue).

The soln. contains pref. (d) polyoxyethylene sorbitan fatty acid ester whose HLB value is more than 10 (10-500 wt.% of **vitamin A** analogue). Examples of (a) are **vitamin A**, a mixt. of **vitamin A** such as **vitamin A** oil, and **vitamin A** derivs. such as **vitamin A** fatty acid ester. The amt. of (a) is 0.003-0.1 wt.%, pref. 0.01-0.05 wt.%. Examples of (b) are polyoxyethylene hardened castor oil (p=40, p=60, p=average additional mole. number of ethylene oxide) such as Nikkol HCO-40,-50, and -60(RTM). Examples of (c) are polyethylene glycol fatty acid ester, glycerol fatty acid ester and sorbitan fatty acid ester, e.g. Nikkol MYS-2, MGS-A, MGO, MYO-6, and SS-30(RTMs). Examples of (d) are sorbitan monostearate polyoxyethylene (p=20), sorbitan monooleate polyoxyethylene (p=20), e.g., Nikkol TS-10, and TP-10(RTMs).

USE/ADVANTAGE - **Vitamin A** analogue can be stably dissolved in the soln. for a long period of time without causing turbidity or a precipitate. The soln. is used for medical agents such as drops and injections, and for food such as drinks.

Dwg.0/0

FS CPI

FA AB; DCN

MC CPI: **A10-E08A**; A12-V01; A12-W09; **B03-A**; B04-B01C1;  
B04-C03C; B07-A02A; B10-G02; B12-M07; B14-E11; D03-H01; E10-G02F2

M1 \*04\* DCN: R02044-M

M1 \*05\* DCN: 9403-16402-M

M1 \*07\* DCN: R01870-M

M2 \*01\* DCN: R00282-M

M2 \*03\* DCN: 9403-16401-M

M2 \*06\* DCN: 9403-16403-M

M3 \*02\* DCN: R00282-M

M3 \*06\* DCN: 9403-16403-M

M3 \*09\* DCN: 9403-16401-M

L84 ANSWER 17 OF 19 WPIDS COPYRIGHT 2000 DERWENT INFORMATION LTD

AN 1988-285397 [40] WPIDS

CR 1988-285398 [40]; 1988-285399 [40]; 1990-099242 [13]; 1990-139366 [18];  
1990-224366 [29]; 1990-253849 [33]; 1991-036572 [05]; 1991-117297 [16];  
1991-117298 [16]; 1991-132627 [18]; 1991-192516 [26]; 1992-166854 [20];  
1992-331442 [40]

DNC C1988-126769

TI Multi-lamellar liposome prodn. - using mixt. of nonionic surfactant, sterol and amphiphile.

DC A96 A97 B01 B07 C03

IN WALLACH, D E

PA (MICR-N) MICRO-PAK INC; (MICR-N) MICRO VESICULAR SYSTEMS INC

CYC 32

PI WO 8806881 A 19880922 (198840)\* EN 42p

RW: AT BE CH DE FR GB IT LU NL SE

W: AU BB BG BR DK FI HU JP KP KR LK MC MG MW NO RO SD SU

ZA 8801763 A 19881130 (198901)

AU 8816836 A 19881010 (198911)  
 US 4855090 A 19890808 (198939) 9p  
 EP 349593 A 19900110 (199002) EN  
 R: AT BE CH DE FR GB IT LI LU NL SE  
 JP 02503646 W 19901101 (199050)  
 CA 1289420 C 19910924 (199144)  
 EP 349593 B 19911127 (199148)  
 R: AT BE CH DE FR GB IT LI LU NL SE  
 DE 3866544 G 19920109 (199203)  
 JP 06000193 B2 19940105 (199404) 9p B01J013-02  
 KR 9609647 B1 19960723 (199922) A61K009-127 <--  
 ADT WO 8806881 A WO 1988-US721 19880308; ZA 8801763 A ZA 1988-1763 19880311;  
 US 4855090 A US 1987-78658 19870728; EP 349593 A EP 1988-904011 19880308;  
 JP 06000193 B2 JP 1988-503735 19880308, WO 1988-US721 19880308; KR 9609647  
 B1 WO 1988-US723 19880308, KR 1988-701447 19881111  
 FDT JP 06000193 B2 Based on JP 02503646, Based on WO 8806881  
 PRAI US 1987-78658 19870728; US 1987-25525 19870313; US 1988-157571  
 19880303  
 REP US 4217344; 1.Jnl.Ref  
 IC **A61K009-50; A61K037-22; B01J013-02**  
 ICM **A61K009-127; B01J013-02**  
 ICS A01N025-28; **A61K009-50; A61K031-20;**  
**A61K035-18; A61K035-76; A61K037-22**  
 ICA A61K037-02; A61K037-24; A61K037-66; C12N007-04  
 AB WO 8806881 A UPAB: 19970502  
 Multilamellar liposomes are produced by (a) forming a lipophilic phase by  
 blending a surfactant (I) with a sterol (II) and a charge-producing  
 amphiphatic (III) at a temp. above the m.pt. of (I), and (b) combining the  
 lipophilic phase with an excess of an aq. phase under high shear at a  
 temp. above the m.pt. of (I). (I) is a polyethylene glycol alkyl ether or  
 polyglycerol alkyl ether.  
 USE/ADVANTAGE - The liposomes may be used for delivery of a wide  
 range of hydrophilic or lipophilic substances, e.g. drugs, agricultural  
 chemicals or tracers. They have a high aq. vol. and are capable of  
 encapsulating both hydrophilic and lipophilic substances with high  
 efficiency. Use of expensive egg lecithin is avoided.  
 Dwg.0/0  
 FS CPI  
 FA AB; DCN  
 MC CPI: **A10-E08A; A12-W05; A12-W12C; B01-D02; B03-A;**  
 B04-C03C; B05-B01P; B07-D04A; B10-A09A; B10-A22; B10-B04B; B10-C04E;  
 B12-M09; B12-M11F; C01-D02; **C03-A; C04-C03C; C05-B01P;**  
 C07-D04A; C10-A09A; C10-A22; C10-B04B; C10-C04E; C12-M09; C12-M11F  
 ABEQ EP 349593 B UPAB: 19930923  
 A method of preparing high aqueous volume multi lamellar lipid vesicles  
 consisting essentially of the steps of: (A) providing a solventless  
 non-aqueous lipophilic phase by blending a polyoxyethylene fatty ether  
 surfactant having the structure R1-O-(CH2-CH2-O)m-H where R1 is  
 CH3-(CH2)n, n ranges from 11 to 15, and m is 2 to 4 with a sterol and a  
 charge producing amphiphile while maintaining the temperature of said  
 lipophilic phase above the melting point of said surfactant; (B) providing  
 an aqueous phase formed of an aqueous solvent and any aqueous soluble  
 materials to be encapsulated; and (C) combining said non-aqueous  
 lipophilic phase with a substantial excess of said aqueous phase in a  
 single step under shear conditions while maintaining the temperature of  
 the mixture above the melting point of said surfactant; whereby said high  
 aqueous volume multimellar lipid vesicles are formed within two minutes  
 when said shear is applied.  
 ABEQ US 4855090 A UPAB: 19930923  
 Prodn. of multi lamellar lipid vesicles comprises mixing a polyoxyethylene  
 fatty ether surfactant with a sterol and an ionogenic amphiphile, keeping  
 the temp. above the m.p. of the surfactant; and dispersion of the  
 resulting lipophilic phase with an aq. phase contg. one or more  
 hydrophilic substances, e.g. antibodies, haemoglobins, peptide hormones,  
 growth factors, lymphokines, interleukins, interferones and viruses,  
 agitating with high shear at temps above the m.p. of the surfactant; and

cooling.

USE - The prods. contain relatively large masses and volumes of encapsulated material for use as liposomes.

M1 \*09\* DCN: R01851-M; R01876-M; R06364-M

M2 \*01\* DCN: 8840-25401-M

M2 \*03\* DCN: R10728-M

M2 \*04\* DCN: R01211-M

M2 \*05\* DCN: R14533-M

M2 \*06\* DCN: 8840-25402-M

M2 \*07\* DCN: R04654-M

M2 \*08\* DCN: R03513-M

M2 \*12\* DCN: R04227-M

M5 \*02\* DCN: R00148-M

L84 ANSWER 18 OF 19 WPIDS COPYRIGHT 2000 DERWENT INFORMATION LTD

AN 1983-783188 [41] WPIDS

DNC C1983-097234

TI Aq. mixt. of lipophilic and hydrophilic vitamin(s) - stabilised with polyol(s) and surfactants.

DC A96 B05 C03

IN HUTAS, I; KOVATS, I; LAZAR, A; SORS, A; TAKACSI, NAGY G; TOTH, A

PA (RICT) RICHTER GEDEON VEGYESZETI GYAR

CYC 5

PI BE 896782 A 19830916 (198341)\* 12p

DE 3318513 A 19831124 (198348)

GB 2120939 A 19831214 (198350)

HU 29559 T 19840228 (198415)

DD 209734 A 19840523 (198438)

GB 2120939 B 19860122 (198604)

CA 1204385 A 19860513 (198624)

SU 1220562 A 19860323 (198646)

AT 8301857 A 19870515 (198723)

DE 3318513 C2 19930701 (199326) 5p A61K045-06 <--

ADT GB 2120939 A GB 1983-13969 19830520; SU 1220562 A SU 1983-3599272  
19830520; DE 3318513 C2 DE 1983-3318513 19830520

PRAI HU 1982-1632 19820521

IC **A61K009-08; A61K031-59; A61K045-06;**

**A61K047-00; B01F000-00; C11D000-00**

AB BE 896782 A UPAB: 19930925

Stable conc. hydrosol contains lipophilic and hydrophilic vitamins mixed with 4-25% wt./vol. of one or more polyols and 12-30% wt./vol. of surfactants, together with antioxidants and preservatives.

Pref. polyols are glycerine, sorbitol and sucrose, while the pref. surfactants are nonionic, esp. polyethylene glycol sorbitan fatty esters. The formulations may be rendered suitable for oral, or parenteral admin. by known methods.

The presence of the polyols enables more stable and concentrated mixtures to be obtained than is possible using surfactants alone. The products may be given to humans and animals.

0/0

FS CPI

FA AB

MC CPI: **A10-E08A**; A12-V; A12-W09; B03-K; B06-D09; B06-F03; B07-D04;  
B10-A07; B10-D03; B10-E04C; B12-M06; B12-M09; C03-K; C06-D09;  
C06-F03; C07-D04; C10-A07; C10-D03; C10-E04C; C12-M06; C12-M09

ABEQ GB 2120939 B UPAB: 19930925

A concentrated, stable hydrosol containing lipophilic and hydrophilic vitamins in admixture with one or more tensides, wherein from 12 to 30% (w/v) of one or more tensides, and from 4 to 25% (w/v) of one or more polyols based on the total volume of hydrosol are present.

ABEQ DE 3318513 C UPAB: 19931116

Concentrated hydrosols, which are stable and contain lipophilic and hydrophilic vitamins, are produced by dissolving the vitamins in 4-25 w/v% polyols and 12-30 w/v% nonionic surfactant (based on the hydrosol vol.). The ratio surfactant: polyhydroxy cpd. is 1-1.25 ; 1-0.25 when the total concn. of lipophilic vitamins is 2.0 +/- 0.5 g/100 ml (1,500,000 IE/100



ml).

Pref. polyol is glycerol, sorbitol or saccharose and surfactant is polyethylene glycol sorbitan fatty acid ester.

USE/ADVANTAGE - In pharmaceutical compsns. for humans, poultry, pigs, etc.. The compsn. is highly concentrated, and stable.

Dwg.0/0

L84 ANSWER 19 OF 19 WPIDS COPYRIGHT 2000 DERWENT INFORMATION LTD  
 AN 1982-59720E [29] WPIDS  
 TI Stable injectable **beta-carotene** soln. prepn. - using nonionic emulsifier, used for treating deficiency states in cattle.  
 DC A96 B05 C03  
 IN HOPPE, P P; SCHNEIDER, J U; SCHULZ, B; TIEFENBACH, H  
 PA (BADI) BASF AG  
 CYC 11  
 PI EP 55817 A 19820714 (198229)\* DE 10p  
 R: BE CH DE FR GB LI NL  
 DE 3048000 A 19820715 (198229)  
 HU 28343 T 19831228 (198406)  
 US 4435427 A 19840306 (198412)  
 CS 8109510 A 19830915 (198417)  
 CA 1185185 A 19850409 (198519)  
 EP 55817 B 19860813 (198633) DE  
 R: BE CH DE FR GB LI NL  
 DE 3175119 G 19860918 (198639)  
 ADT EP 55817 A EP 1981-109220 19811029; US 4435427 A US 1981-329124 19811209  
 PRAI DE 1980-3048000 19801219  
 REP DE 2236899; DE 3048000; No-SR.Pub; US 4075333; DE 1210127; DE 970772; US 2417299; US 2524247  
 IC **A61K009-00; A61K031-01**  
 AB EP 55817 A UPAB: 19930915  
 Prepn. of solubilised **beta-carotene** (I) comprises firstly adding (I) to a nonionic emulsifier (II) at 160-180 deg.C. in presence of an antioxidant (III). The amt. of (I) is 20-30 wt.% based on (II). The obtd. hot homogeneous mixt. is cooled rapidly to below 100 deg.C.by addn. of water. Further water is then added to give the desired concn. of 3-6 wt.%. Stable injectable aq. solns. of (I) obtd. by the process are also claimed.  
 The solns. can be used to treat (I) deficiency in cows, which causes oestrus cycle disturbances and reduced fertility. Clear, stable, highly conc. solns. are obtd. They provide increased (I) levels in blood rapidly and for a long period.The compsns. are still stable after 12 months.  
 FS CPI  
 FA AB  
 MC CPI: A10-E07; **A10-E08A**; A12-V; **B03-A**; B03-H; B04-C03C; B10-E02; B12-L09; B12-M06; B12-M07; B12-M09; **C03-A**; C03-H; C04-C03C; C10-E02; C12-L09; C12-M06; C12-M07; C12-M09  
 ABEQ US 4435427 A UPAB: 19930915  
 Prodn. of a micellar **beta-carotene** (BC) soln. comprises (A) melting at 160-180 deg.C a mixt. of 20-30 wt.%, referred to emulsifier, BC, an antioxidant for BC and a nonionic, water soluble emulsifier with an HLB value 12-16 and capable of forming a homogeneous melt with the BC, B) cooling the melt rapidly below 100 deg.C by adding water and C) adding more water to the mixt. to obtain a clear micellar soln. contg. 3-6 wt.% BC.  
 The emulsifier is pref. an oxyethylated triglyceride of a 12-18C fatty acid and contains 20-60 oxyethylene units, esp. e.g. glycerol polyoxyethylene glycolricinoleate, polyoxyethylene sorbitan fatty acid ester. Pref. 10-20 wt.% referred to BC, of butyl-OH-toluene, butyl-OH-anisole or d,1-beta-**tocopherol** are added as antioxidant.  
 Relatively highly concn. clear, stable emulsions or micellar solns. of BC can be obtd., which are highly suitable for enriching cattle food with BC.  
 ABEQ EP 55817 B UPAB: 19930915  
 A process for the preparation of a **beta-carotene**

micellar solution, wherein a total of from 20 to 30% by weight, based on the emulsifier, of **beta-carotene** is introduced into a non-ionic emulsifier which is suitable for the preparation of micellar solutions and has been heated to from 160 to 180 deg. C, the hot homogeneous mixture is cooled rapidly to below 100 deg. C by adding water, and the formulation is brought to the desired concentration of from 3 to 6% by weight by adding further water.

=> e r01662+all/dcn

```
E1          640    --> R01662/DCN
E2           UF    CAROTENE, BETA-/DCN
***** END***
```

=>

=>

=> e r01862+all/dcn

```
E1          116    --> R01862/DCN
E2           UF    POLYETHYLENE GLYCOL MONOSTEARATE/DCN
E3           UF    POLYOXYL STEARATE/DCN
***** END***
```

=>

=> e r04259+all/dcn

```
E1          327    --> R04259/DCN
E2           UF    ISOPROPYL MYRISTATE/DCN
***** END***
```

=>

=> e r00179+all/dcn

```
E1          1910   --> R00179/DCN
E2           UF    TOCOPHEROL, ALPHA-/DCN
E3           UF    VITAMIN E/DCN
***** END***
```

=>

=> e r14756+all/dcn

```
E1          325    --> R14756/DCN
E2           UF    TOCOPHEROL, (2R,4'R,8'R)-ALPHA-/DCN
***** END***
```

=> d his 185-

(FILE 'WPIDS' ENTERED AT 12:36:09 ON 28 OCT 2000)

```
E R01662+ALL/DCN
E R01862+ALL/DCN
E R04259+ALL/DCN
E R00179+ALL/DCN
E R14756+ALL/DCN
```

FILE 'DRUGLAUNCH' ENTERED AT 12:38:13 ON 28 OCT 2000

E CAROTEN

```
L85          77 S E1-E12
L86          52 S L2
L87          77 S L85,L86
```

L88	5 S L35,L36,L57-L59
L89	0 S L87 AND L88
L90	0 S L87 AND STEAR?
L91	13 S L87 AND D11?/CC
L92	64 S L87 AND BETA
L93	11 S L87 NOT L91,L92
L94	320 S BETACAROT?
L95	0 S L87,L94 AND L88
L96	2 S L87,L94 AND STEAR?
L97	0 S L87,L94 AND PEG
L98	0 S L87,L94 AND POLYOXY?
L99	0 S L87,L94 AND POLYETHYL?

ACCESSION NUMBER: 1955:21650 HCAPLUS  
 DOCUMENT NUMBER: 49:21650  
 ORIGINAL REFERENCE NO.: 49:4240h-i  
 TITLE: A study of the adaptability of **isopropyl myristate** for use as a vehicle for **parenteral injections**  
 AUTHOR(S): Platcow, Edward L.  
 CORPORATE SOURCE: Univ. of Florida, Gainesville  
 SOURCE: (1954) 58 pp.; microfilm, \$1.00; paper enlargement, \$5.80 Avail.: Univ. Microfilms (Ann Arbor, Mich.), Order No. 9557  
 From: Dissertation Abstr. 14, 2092  
 DOCUMENT TYPE: Dissertation  
 LANGUAGE: Unavailable  
 AB Unavailable

L5 ANSWER 7 OF 72 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1985:529072 HCAPLUS  
 DOCUMENT NUMBER: 103:129072  
 TITLE: Pharmaceutical **parenteral** microemulsions  
 INVENTOR(S): Bobee, Jean Marc; Veillard, Michel  
 PATENT ASSIGNEE(S): Rhone-Poulenc Sante, Fr.  
 SOURCE: Fr. Demande, 14 pp.  
 CODEN: FRXXBL  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2553661	A1	19850426	FR 1983-16635	19831019
FR 2553661	B1	19851220		

PRIORITY APPLN. INFO.: FR 1983-16635 19831019

AB Pharmaceutical microemulsions consist of an oil, e.g., Et oleate [111-62-6], water contg. an electrolyte, an ionic surfactant such as triethanolamine oleate [2717-15-9] and a cosurfactant, e.g. benzyl alc. [100-51-6]. These emulsions are particularly useful for **parenteral** administration of drugs such as lipophilic or amphiphilic drugs, hormones, vitamins, etc. A formulation contains active compd. 0.5 mg, Et oleate 0.4, triethanolamine oleate 0.4, benzyl alc. 0.3, NaCl 0.16 and water 8.74 g.  
 IT Surfactants  
 (parenteral microemulsions contg. esters and electrolytes and)  
 IT Esters, biological studies  
 RL: BIOL (Biological study)  
 (parenteral microemulsions contg. surfactants and electrolytes and)  
 IT Hormones  
 Vitamins  
 RL: BIOL (Biological study)  
 (parenteral microemulsions contg. surfactants and electrolytes and esters and)  
 IT Electrolytes  
 (parenteral microemulsions contg. surfactants and esters and)  
 IT Alcohols, biological studies  
 RL: BIOL (Biological study)  
 (C3-18, parenteral microemulsions contg. esters and electrolytes and)  
 IT Pharmaceuticals

(**parenterals**, microemulsions, esters and electrolytes and  
surfactants for)

IT 94-96-2 100-51-6, biological studies 143-18-0 143-19-1 2717-15-9  
13961-86-9  
RL: BIOL (Biological study)  
(**parenteral** microemulsions contg. esters and electrolytes  
and)

IT 106-33-2 **110-27-0** 111-62-6 120-51-4 34316-64-8  
RL: BIOL (Biological study)  
(**parenteral** microemulsions contg. surfactants and  
electrolytes and)

IT 7647-14-5, biological studies  
RL: BIOL (Biological study)  
(**parenteral** microemulsions contg. surfactants and esters and)

L5 ANSWER 8 OF 72 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:294777 HCAPLUS  
 DOCUMENT NUMBER: 124:325427  
 TITLE: Pharmaceutical **injections** containing diclofenac and a surfactant  
 INVENTOR(S): Holl, Richard J.; Tice, Thomas R.; Williams, Laura L.  
 PATENT ASSIGNEE(S): Southern Research Institute, USA  
 SOURCE: PCT Int. Appl., 22 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9603121	A1	19960208	WO 1995-US9331	19950726
W: CA, CN, JP, KR, SG, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5554650	A	19960910	US 1994-281579	19940728
PRIORITY APPLN. INFO.:			US 1994-281579	19940728

AB An antiphlogistic, analgesic, antipyretic **parenteral** prepn. comprising diclofenac (I) or its salt, a surfactant, a cosurfactant, water, or an oil component, pH = 3-10, is provided. The **parenteral** prepn. can be injected without pain, can avoid occurrence of side effects such as shock, due to the rapid I plasma concn. increase that after administration of currently marketed diclofenac prepn., and can exhibit sustained therapeutic levels of diclofenac in plasma. A **parenteral** prepn. contained polyoxyethylene hydrogenated castor oil 33, soybean oil 7, benzyl alc. 10, I.Na 2.44, and 2.03% aq. soln. of sodium acetate buffer 47.56%. The AUC<sub>0-infin.</sub> of the prepn. after a dose of 10 mg/kg in rats was 24.0.mu.g/h/mL.

IT Glycerides, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (medium chain; pharmaceutical **injections** contg. diclofenac and surfactant)

IT Alcohols, biological studies  
 Buffer substances and systems  
 Castor oil  
 Cottonseed oil  
 Hydrocarbons, biological studies  
 Paraffin oils  
 Soybean oil  
 Surfactants  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical **injections** contg. diclofenac and surfactant)

IT Fatty acids, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (esters, pharmaceutical **injections** contg. diclofenac and surfactant)

IT Castor oil  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (ethoxylated, pharmaceutical **injections** contg. diclofenac and surfactant)

IT Fatty acids, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (ethoxylated, with sorbitan; pharmaceutical **injections** contg. diclofenac and surfactant)

IT Castor oil  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (hydrogenated, ethoxylated, pharmaceutical **injections** contg. diclofenac and surfactant)

IT Pharmaceutical dosage forms

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(**injections**, pharmaceutical **injections** contg.  
diclofenac and surfactant)

IT Surfactants

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(nonionic, pharmaceutical **injections** contg. diclofenac and  
surfactant)

IT Pharmaceutical dosage forms

(**parenterals**, pharmaceutical **injections** contg.  
diclofenac and surfactant)

IT Alcohols, biological studies

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(polyhydric, pharmaceutical **injections** contg. diclofenac and  
surfactant)

IT 56-81-5, 1,2,3-Propanetriol, biological studies 56-81-5D,  
1,2,3-Propanetriol, esters with fatty acids 57-55-6, 1,2-Propanediol,  
biological studies 64-17-5, Ethyl alcohol, biological studies 64-19-7,  
Acetic acid, biological studies 77-92-9, biological studies 100-51-6,  
Benzenemethanol, biological studies 107-88-0, 1,3-Butanediol  
**110-27-0, Isopropyl myristate** 1338-43-8,  
Sorbitan monooleate 7664-38-2, Phosphoric acid, biological studies  
9005-63-4D, esters with fatty acids 15307-79-6, Diclofenac sodium  
15307-86-5 25322-68-3

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(pharmaceutical **injections** contg. diclofenac and surfactant)

ACCESSION NUMBER: 1984:145017 HCAPLUS  
 DOCUMENT NUMBER: 100:145017  
 TITLE: Stable pharmaceutical and cosmetic fat emulsion preparations  
 PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 59010511	A2	19840120	JP 1982-116919	19820707
JP 04041125	B4	19920707		
CA 1209908	A1	19860819	CA 1983-431888	19830706
EP 100459	A2	19840215	EP 1983-106668	19830707
EP 100459	A3	19850508		
EP 100459	B1	19921111		
R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
AT 82139	E	19921115	AT 1983-106668	19830707
JP 05163136	A2	19930629	JP 1992-97476	19920305
JP 06086374	B4	19941102		

PRIORITY APPLN. INFO.: JP 1982-116919 19820707  
 EP 1983-106668 19830707

AB Stable pharmaceutical and cosmetic fat emulsion preps. consist of lipid-sol. substances (vitamin A [11103-57-4], vitamin E [1406-18-4], vitamin D [1406-16-2], vitamin K [12001-79-5], ubidecarenone [303-98-0], bisabolol [515-69-5], salicylic acid esters, p-aminobenzoic acid [150-13-0], squalane [111-01-3], **isopropyl myristate** [110-27-0], vegetable oils, etc), lecithin (an emulsifier) and EtOH [64-17-5] and (or) iso-ProH [67-63-0]. Unlike conventional fat emulsion preps. contg. nonionic surfactants, lecithin had no harmful effect on the human body. Thus, an ubidecarenone **injection** was prepd. contg. ubidecarenone 1.0 g, egg yolk lecithin 0.4 g, EtOH 65.0 mL, Macrogol 400 50.0 g, sorbitol 45.0 g and distd. H2O to 1 L.

IT Lecithins  
 RL: BIOL (Biological study)  
 (fat emulsions contg. ethanol and isopropanol and)

IT Cosmetics  
 Pharmaceuticals  
 (emulsions, fat, ethanol and isopropanol and lecithin in)

IT 69-72-7D, esters **110-27-0** 111-01-3 150-13-0 515-69-5  
 1406-16-2 1406-18-4 11103-57-4 12001-79-5

RL: BIOL (Biological study)  
 (emulsions contg. ethanol and isopropanol and lecithin and)

IT 302-79-4 1406-70-8 58817-05-3  
 RL: BIOL (Biological study)

(fat emulsions contg. ethanol and isopropanol and)

IT 64-17-5, biological studies 67-63-0, biological studies  
 RL: BIOL (Biological study)

(fat emulsions contg. lecithin and)

IT 303-98-0 863-61-6

RL: BIOL (Biological study)

(**injection** emulsions contg. ethanol and lecithin and)



ACCESSION NUMBER: 1993:634068 HCAPLUS  
 DOCUMENT NUMBER: 119:234068  
 TITLE: Prolonged-release pharmaceutical **injections**  
 containing hydrophilic polymers  
 INVENTOR(S): Kaltsatos, Vassilios; Thomas, Valerie  
 PATENT ASSIGNEE(S): Vetoquinol SA, Fr.  
 SOURCE: Fr. Demande, 11 pp.  
 CODEN: FRXXBL  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2685203	A1	19930625	FR 1991-15892	19911220
FR 2685203	B1	19950519		

PRIORITY APPLN. INFO.: FR 1991-15892 19911220

AB Prolonged-release pharmaceutical **injections** contg. hydrophilic polymers are disclosed. A prolonged-release pharmaceutical **injection** contained amoxycilline.3H<sub>2</sub>O (I) 18, Et cellulose 2, Me cellulose 2, Span-85 0.2, and miglyol-840 q.s. to 100g. The bioavailability of I in sheep was studied.

IT Drug bioavailability  
(of amoxycilline, from prolonged-release **injections**)

IT Glycerides, biological studies  
Siloxanes and Silicones, biological studies  
RL: BIOL (Biological study)  
(prolonged-release **injections** contg. hydrophilic polymers and)

IT Glycerides, biological studies  
RL: BIOL (Biological study)  
(C8-12, prolonged-release **injections** contg. hydrophilic polymers and)

IT Fatty acids, esters  
RL: BIOL (Biological study)  
(esters, with propylene glycol, prolonged-release **injections** contg. hydrophilic polymers and)

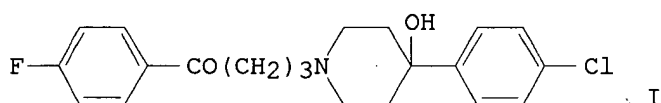
IT Pharmaceutical dosage forms  
(**injections**, sustained-release, hydrophilic polymers in)

IT Fats and Glyceridic oils  
RL: BIOL (Biological study)  
(vegetable, prolonged-release **injections** contg. hydrophilic polymers and)

IT 630-56-8, HPC 9002-89-5, Poly(vinyl alcohol) 9003-20-7, Poly(vinyl acetate) 9004-65-3, HPMC 9004-67-5, Methyl cellulose 9011-13-6, Maleic anhydride-styrene copolymer 25067-34-9, Ethylene-vinyl alcohol copolymer 25249-16-5  
RL: BIOL (Biological study)  
(prolonged-release **injections** contg.)

IT 53-86-1, Indomethacin 57-55-6, 1,2-Propanediol, biological studies 57-55-6D, 1,2-Propanediol, esters with medium-chain fatty acids **110-27-0, Isopropyl myristate** 544-63-8D, Tetradecanoic acid, esters 1404-00-8, Mitomycin 11056-06-7, Bleomycin 15686-71-2, Cephalixin 23214-92-8, Adriamycin 25322-68-3 38821-53-3, Cephadrin 61336-70-7 77466-09-2, Miglyol 840  
RL: BIOL (Biological study)  
(prolonged-release **injections** contg. hydrophilic polymers and)

ACCESSION NUMBER: 1985:225977 HCAPLUS  
 DOCUMENT NUMBER: 102:225977  
 TITLE: Development of haloperidol in oil **injection** formulations  
 AUTHOR(S): Radd, Billie L.; Newman, Azarine C.; Fegely, Barry J.; Chrzanowski, Francis A.; Lichten, J. Leon; Walkling, W. Douglas  
 CORPORATE SOURCE: McNeil Pharm., Spring House, PA, USA  
 SOURCE: Journal of Parenteral Science and Technology (1985), 39(1), 48-50  
 CODEN: JPATDS; ISSN: 0279-7976  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



- AB The use of aliph. acids as solubilizers for haloperidol (I) [52-86-8] in oils to administer I as a depot, i.m. **injection** was investigated. The selected solns. were evaluated in vitro for their I releasing properties. A target concn. of 40 mg I/mL was selected. Six acids which were adequately sol. (0.5M) in the oils were liqs. which solidified at <5.degree.. Saline pptd. I from all solns. except those prepd. with oleic acid [112-80-1] and linoleic acid [60-33-3]. The release kinetics of I from these 2 acids/oil solns. into saline corresponded to the release from an inert, homogeneous matrix. The release of I from oleic acid/corn, sesame and neutral oils, and oleic acid/myristate ester formulation occurred 3-4-fold faster than the release of I decanoate in a sesame oil formulation (clin. known).
- IT Solubilizers  
 (aliph. acids, for haloperidol in oil for depot i.m. **injection**)
- IT Physiological saline solutions  
 (compatibility of, with haloperidol soln. contg. aliph. acids in oil)
- IT Carboxylic acids, biological studies  
 RL: BIOL (Biological study)  
 (haloperidol solubilization by, for i.m. depot **injection**)
- IT Corn oil  
 Glycerides, biological studies  
 RL: BIOL (Biological study)  
 (haloperidol solubilization in, by aliph. acids, for i.m. depot **injection**)
- IT Solubilization  
 (of haloperidol in oil, by aliph. acids, for depot i.m. **injection**)
- IT Oils  
 RL: BIOL (Biological study)  
 (sesame, haloperidol solubilization in, by aliph. acids, for i.m. depot **injection**)
- IT 60-33-3, biological studies 64-19-7, biological studies 79-09-4, biological studies 107-92-6, biological studies 109-52-4, biological studies 112-80-1, biological studies 124-07-2, biological studies 142-62-1, biological studies  
 RL: BIOL (Biological study)  
 (haloperidol solubilization by, for i.m. depot **injection**)

IT 110-27-0 111-59-1 111-62-6 112-62-9 124-10-7  
RL: BIOL (Biological study)  
(haloperidol solubilization in, by aliph. acids, for i.m. depot  
injection)  
IT 52-86-8  
RL: PROC (Process)  
(solubilization of, in oils by aliph. acids for i.m. depot  
injection)

ACCESSION NUMBER: 1972:505627 HCAPLUS  
 DOCUMENT NUMBER: 77:105627  
 TITLE: Anesthetic **injection** solutions containing  
 3.alpha.-hydroxy-5.alpha.-pregnane-11,20-dione  
 INVENTOR(S): Davis, Benjamin; Pearce, Derek Roger; Connor, Paul  
 PATENT ASSIGNEE(S): Glaxo Laboratories Ltd.  
 SOURCE: Ger. Offen., 28 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2162593	A	19720706	DE 1971-2162593	19711216
BE 776788	A1	19720616	BE 1971-111748	19711216
NL 7117255	A	19720620	NL 1971-17255	19711216
FR 2118120	A5	19720728	FR 1971-45228	19711216
FR 2118120	B1	19760416		
AU 7136959	A1	19730621	AU 1971-36959	19711216
GB 1379730	A	19750108	GB 1970-60067	19711216
CA 970281	A1	19750701	CA 1971-130273	19711216
AT 7110825	A	19760415	AT 1971-10825	19711216
AT 333963	B	19761227		
IL 38375	A1	19760730	IL 1971-38375	19711216
ZA 7108463	A	19730829	ZA 1971-8463	19711217
US 3917830	A	19751104	US 1972-263133	19720615

PRIORITY APPLN. INFO.: GB 1970-60067 19701217  
 US 1971-208924 19711216

GI For diagram(s), see printed CA Issue.

AB The soly. of the anesthetic title compd. I) in coconut oil, peanut oil, castor oil, soybean oil, cetyl alc., iso-Pr myristate, propylene glycol, etc. was increased by addn. of 21-acetoxy-3.alpha.-hydroxy-5.alpha.-pregnane-11,20-dione (II). Thus, 5 ml coconut oil was added to 0.45 g I and 0.15 g II in 3 ml Me2CO, the Me2CO removed by a stream of N, and the clear soln. added to 45 ml 1% Tween 80 soln. to give an emulsion contg. 1.2% steroids and 10% coconut oil and most particles of which had diam. <1.mu..

IT Anesthetics

(hydroxypregnanedione, solubilizer and vehicles for)

IT Castor oil

Coconut oil

Peanut oil

Soybean oil

RL: BIOL (Biological study)

(pharmaceutical vehicle, for hydroxypregnanedione)

IT 23930-19-0

RL: BIOL (Biological study)

(anesthetic, solubilizer and vehicles for)

IT 23930-37-2

RL: BIOL (Biological study)

(pharmaceutical solubilizer, for hydroxypregnanedione)

IT 57-55-6, biological studies 110-27-0 367-47-5 36653-82-4

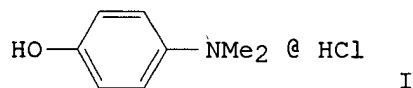
RL: BIOL (Biological study)

(pharmaceutical vehicle, for hydroxypregnanedione)

L5 ANSWER 20 OF 72 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1982:505457 HCAPLUS  
 DOCUMENT NUMBER: 97:105457  
 TITLE: A 4-dimethylaminophenol-hydrochloride solution for  
 painless intramuscular administration  
 INVENTOR(S): Kohler, Franz  
 PATENT ASSIGNEE(S): Koehler, Dr. Franz, Chemie K.-G., Fed. Rep. Ger.  
 SOURCE: Eur. Pat. Appl., 14 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 51163	A2	19820512	EP 1981-108102	19811009
EP 51163	A3	19830216		
R: AT, BE, CH, DE, FR, GB, IT, NL, SE				
PRIORITY APPLN. INFO.:			CH 1980-8153	19801103
GI				



- AB 4-dimethylaminophenol-HCl (I) [5882-48-4], an antidote for cyanide poisoning, can cause pain and necrosis in i.m. **injections**. These side-effects can be avoided by formulation of the **injection** with little or no water. The preferred vehicles include biocompatible lower alkanols, glycerol [56-81-5], glycols, glycol ethers, benzyl alc. [100-51-6], hydrophilic lower amides, esters, ethers, sulfones, sulfoxides, fatty acid esters, unsatd. oils, or their mixts. Thus, a soln. was prepd. from: 12.5 g I, 1.5 mL benzyl alc., 30 mL H<sub>2</sub>O, and 1,2-propanediol [57-55-6] to 100 mL.
- IT Solvents  
 (for dimethylaminophenol hydrochloride **injections**)
- IT 57-12-5, biological studies  
 RL: BIOL (Biological study)  
 (antidotes for, dimethylaminophenol hydrochloride **injections** as, nonaq. solvents for)
- IT 56-81-5, biological studies 57-55-6, biological studies 64-17-5, biological studies 67-63-0, biological studies 67-68-5, biological studies 68-12-2, biological studies 97-64-3 100-51-6, biological studies 100-79-8 107-21-1, biological studies 107-88-0 **110-27-0** 111-46-6, biological studies 111-96-6 112-27-6 112-60-7 126-33-0 127-19-5 141-78-6, biological studies 4128-76-1 5422-34-4 19354-27-9 25322-68-3 37234-87-0  
 RL: BIOL (Biological study)  
 (dimethylaminophenol hydrochloride **injections** contg.)
- IT 5882-48-4  
 RL: BIOL (Biological study)  
 (**injections**, nonaq. solvents for)

ACCESSION NUMBER: 1994:442622 HCAPLUS  
DOCUMENT NUMBER: 121:42622  
TITLE: Predictions of in vivo plasma concentrations from in vitro release kinetics: application to doxepin **parenteral** (I.M.) suspensions in lipophilic vehicles in dogs  
AUTHOR(S): Gido, Christina; Langguth, Peter; Mutschler, Ernst  
CORPORATE SOURCE: Dep. Pharmacol., Johann Wolfgang Goethe-Univ., Frankfurt/Main, Germany  
SOURCE: Pharmaceutical Research (1994), 11(6), 800-8  
CODEN: PHREEB; ISSN: 0724-8741  
DOCUMENT TYPE: Journal  
LANGUAGE: English

- AB A flow through dissoln. system was applied to obtain biorelevant dissoln. rates from controlled release systems for **parenteral** administration using the antidepressant doxepin as a model compd. Plasma concns. were simulated using the disposition function of doxepin obtained from administration of an aq. doxepin soln. (Aponal) to beagle dogs. Input functions were obtained from in vitro dissoln. expts. with three **parenteral** controlled release suspension of doxepin hydrochloride (DHCl), doxepin pamoate (DP-1), and microspheres of doxepin hydrochloride in poly D,L-lactide-coglycolide (MC-I) in iso-Pr myristate. The predicted plasma concns. were compared with exptl. obtained concns. in vivo. Good correlations ( $r > 0.88$ ) between obsd. and predicted data were obtained for all formulations investigated. Similarly, in vivo release kinetics calcd. by the Loo-Riegelman method were compared with release kinetics measured in vitro and showed good correlations ( $r > 0.89$ ). It is anticipated that the in vitro dissoln. system permits assessment of the clin. relevance of obsd. variations in dissoln. rates e.g. between batches of one formulation.
- IT Solution rate  
(of doxepin, from **parenteral** suspensions, bioavailability prediction from)
- IT Drug bioavailability  
(of doxepin, from **parenteral** suspensions, prediction from dissoln. of)
- IT Polyesters, biological studies  
RL: BIOL (Biological study)  
(dilactone-based, doxepin bioavailability from microsphere suspensions contg., prediction from dissoln. of)
- IT Pharmaceutical dosage forms  
(**parenterals**, suspensions, controlled-release, doxepin bioavailability prediction from drug dissoln. from)
- IT 1668-19-5, Doxepin  
RL: BIOL (Biological study)  
(bioavailability of, from **parenteral** suspensions, prediction from dissoln. of)
- IT **110-27-0, Isopropyl myristate** 26780-50-7,  
Glycolide-lactide copolymer  
RL: BIOL (Biological study)  
(doxepin bioavailability from microsphere suspensions contg., prediction from dissoln. of)
- IT 1229-29-4, Doxepin hydrochloride 151955-27-0, Doxepin pamoate  
RL: BIOL (Biological study)  
(doxepin bioavailability from **parenteral** suspensions contg., prediction from dissoln. of)

ACCESSION NUMBER: 1987:162489 HCAPLUS  
 DOCUMENT NUMBER: 106:162489  
 TITLE: Influence of solvent on the availability of  
 testosterone propionate from oily, intramuscular  
**injections** in the rat  
 AUTHOR(S): Al-Hindawi, M. K.; James, K. C.; Nicholls, P. J.  
 CORPORATE SOURCE: Inst. Sci. Technol., Univ. Wales, Cardiff, CF1 3XF, UK  
 SOURCE: Journal of Pharmacy and Pharmacology (1987), 39(2),  
 90-5  
 CODEN: JPPMAB; ISSN: 0022-3573  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB The suggestion that the biol. response to an oily i.m. **injection**  
 of testosterone ester is regulated by rapid accumulation of the steroid in  
 body fat, followed by a slow release, has been tested by comparing the  
 release rates of <sup>14</sup>C-labeled testosterone propionate (I) [57-85-2] from  
 different solvents following i.m. **injection** into rats.  
 Disappearance from the **injection** site was rectilinearly related  
 to in vitro partition coeffs., but elimination of radioactivity in urine  
 and feces was significantly longer, and the same for all 4 solvents.  
 Testosterone [58-22-0] and I were found in equal concns. in body fat, 2  
 and 3 days after **injection**, but their concns. were too low to  
 form an effective depot. It is suggested that the delay in release, and  
 the independence of the delay on the nature of the solvent is a  
 consequence of biliary recycling of testosterone.  
 IT Paraffin oils  
 RL: BIOL (Biological study)  
 (bioavailability of testosterone propionate from oily i.m.  
**injections** in relation to)  
 IT Drug bioavailability  
 (of testosterone propionate, from oily i.m. **injections**)  
 IT 110-27-0, Isopropyl myristate 111-62-6,  
 Ethyl oleate 111-87-5, Octanol, biological studies  
 RL: BIOL (Biological study)  
 (bioavailability of testosterone propionate from oily i.m.  
**injections** in relation to)  
 IT 57-85-2, Testosterone propionate  
 RL: PROC (Process)  
 (bioavailability of, from oily i.m. **injections**, solvent  
 effect on)  
 IT 633-32-9  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (esterification of)  
 IT 58-22-0, Testosterone  
 RL: BIOL (Biological study)  
 (in body fat, after administration of propionate ester in oily i.m.  
**injections**)  
 IT 94391-08-9P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)

L5 ANSWER 5 OF 72 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:652330 HCAPLUS

DOCUMENT NUMBER: 129:235725

TITLE: A simplified and rapid high-performance liquid chromatographic assay for ketoprofen in **isopropyl myristate**

AUTHOR(S): Proniuk, Stefan; Lerkpulsawad, Supaporn; Blanchard, James

CORPORATE SOURCE: Dep. Pharmacology and Toxicology, Coll. Pharmacy, Univ. Arizona, Tucson, AZ, 85721, USA

SOURCE: Journal of Chromatographic Science (1998), 36(10), 495-498

CODEN: JCHSBZ; ISSN: 0021-9665

PUBLISHER: Preston Publications

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A HPLC procedure for quantitating ketoprofen in iso-Pr myristate (IPM), a compd. widely used as a receptor medium in drug diffusion studies of topical aq.-based formulations, is developed. Previously reported HPLC assays for ketoprofen in IPM have employed relatively complex and tedious methods for purifying the IPM prior to **injection** onto the HPLC column. The present assay method utilizes a direct **injection** of the IPM-based sample onto a new reversed-phase ODS column and employs UV detection at 265 nm. Pr paraben is employed as the internal std. The mobile phase consists of MeOH-MeCN-H<sub>2</sub>O (36:54:10) at a flow rate of 1.2 mL/min. The calibration curves are linear over concn. ranges of 0.625-10 .mu.g/mL and 6.25-100 .mu.g/mL. The within-day and between-day precision exhibit coeffs. of variation of 1.3-3.3%, and the accuracy (reported as relative error of the mean) varies from -1.9% to 0.6%. The retention times for ketoprofen and Pr paraben are approx. 2.3 and 3.3 min, resp. The total run time per sample is approx. 7 min. The min. quantitable concn. is approx. 0.625 .mu.g/mL. The assay is stability-indicating rapid, reproducible, sensitive, and readily adaptable for assaying other non-steroidal anti-inflammatory drugs.

IT **110-27-0, Isopropyl myristate**

RL: AMX (Analytical matrix); ANST (Analytical study)

(HPLC detn. of ketoprofen in iso-Pr myristate)

IT 22071-15-4, Ketoprofen

RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)

(HPLC detn. of ketoprofen in iso-Pr myristate)

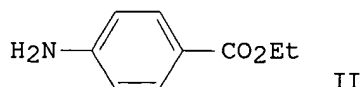
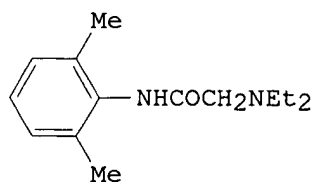
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



ACCESSION NUMBER: 1989:63736 HCAPLUS  
 DOCUMENT NUMBER: 110:63736  
 TITLE: **Parenteral injections** containing  
 lyophilized acetamin and oils  
 INVENTOR(S): Schierstedt, Detlef; Opitz, Wolfgang; Dell, Hans  
 Dieter; Kraus, Reinhold  
 PATENT ASSIGNEE(S): Troponwerke G.m.b.H. and Co. K.-G., Fed. Rep. Ger.  
 SOURCE: Ger. Offen., 5 pp.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	DE 3633484	A1	19880414	DE 1986-3633484	19861002
PRIORITY APPLN. INFO.:				DE 1986-3633484	19861002
AB	<b>Parenteral</b> pharmaceuticals are prepd. from lyophilized acetamin (I). I (4 g) is dissolved in 396 g glacial AcOH, and 6 g of this soln. was filled into ampules and the contents freeze-dried at -80.degree. and 0.05 bar; the lyophilizate was dried at 80-90.degree. for 3 h. A <b>parenteral injection</b> contained 10 mg lyophilized I and 2 mL iso-Pr myristate.				
IT	Cottonseed oil RL: BIOL (Biological study) ( <b>parenteral injections</b> contg. lyophilized acetamin and)				
IT	Oils, glyceridic RL: BIOL (Biological study) ( <b>parenteral injections</b> , contg. lyophilized acetamin)				
IT	Glycerides, biological studies RL: BIOL (Biological study) (C8-12, <b>parenteral injections</b> contg. lyophilized acetamin and)				
IT	Pharmaceutical dosage forms ( <b>parenterals</b> , contg. lyophilized acetamin and oils)				
IT	Oils, glyceridic RL: BIOL (Biological study) (sesame, <b>parenteral injections</b> contg. lyophilized acetamin and)				
IT	53164-05-9, Acetamin RL: BIOL (Biological study) ( <b>parenteral injection</b> contg. oils and)				
IT	<b>110-27-0, Isopropyl myristate</b> 111-62-6, Ethyl oleate 142-91-6, Isopropyl palmitate RL: BIOL (Biological study) ( <b>parenteral injections</b> contg. lyophilized acetamin and)				

ACCESSION NUMBER: 1968:504996 HCAPLUS  
 DOCUMENT NUMBER: 69:104996  
 TITLE: Cutaneous and **parenteral** studies with vehicles containing **isopropyl myristate** and peanut oil  
 AUTHOR(S): Fitzgerald, J. E.; Kurtz, S. M.; Schardein, J. L.; Kaump, D. H.  
 CORPORATE SOURCE: Res. Lab., Parke, Davis and Co., Ann Arbor, MI, USA  
 SOURCE: Toxicology and Applied Pharmacology (1968), 13(3), 448-53  
 CODEN: TXAPA9; ISSN: 0041-008X  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB Daily cutaneous application of iso-Pr myristate (I) induced a prompt skin response in mice and rabbits, characterized at first by erythema, and later by lichenification, and fissure formation. Histol., acanthosis, para- and hyperkeratosis, focal erosion, and focal hemorrhage were seen. In rabbits, the skin lesions regressed slowly after cessation of treatment, while in mice the lesions tended to regress during continued treatment. Similar reactions occurred with combinations of I and peanut oil, but the intensities of the dermatoses were generally related to the proportion of I in the mixt. Peanut oil alone produced only mild gross and microscopic changes. A mixt. of 25% I and 75% peanut oil produced only minor local damage without definitive systemic effects when injected repeatedly into rats, dogs, and monkeys, or when given as single i.m. **injections** to rabbits.  
 IT Peanut oil  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
 (skin response to)  
 IT Skin, responses to chemicals  
 (to **isopropyl myristate** and peanut oil)  
 IT **110-27-0**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
 (skin response to)  
 L5 ANSWER 2 OF 72 HCAPLUS COPYRIGHT 2003 ACS  
 ACCESSION NUMBER: 1981:449505 HCAPLUS  
 DOCUMENT NUMBER: 95:49505  
 TITLE: The determination of lidocaine and benzocaine in **isopropyl myristate**  
 AUTHOR(S): Chen-Chow, Pai-Chie; Frank, Sylvan G.  
 CORPORATE SOURCE: Coll. Pharm., Ohio State Univ., Columbus, OH, 43210, USA  
 SOURCE: International Journal of Pharmaceutics (1981), 8(2), 81-7  
 CODEN: IJPHDE; ISSN: 0378-5173  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



AB Lidocaine (I) [137-58-6] and benzocaine (II) [94-09-7] were detd. in iso-Pr myristate (ISM) [110-27-0] soln., which is an acceptor phase in in vitro membraneless drug release model studies. Extn. processes were developed to prep. the samples for the appropriate assay procedures: II by UV absorption spectrophotometry and I by gas chromatog. Direct assay of aq. acid exts. of ISM sink solns. was not possible for benzocaine due to interfering UV absorbing substances. In the case of I, variable results were obtained from direct **injections** of the viscous ISM solns. into the gas chromatog column. In the latter case, however, direct assay was useful for the estn. of I concns., providing qual. results for establishing appropriate concns. necessary for a more complicated, but quant. method based on a double extn. procedure.

IT **110-27-0**

RL: ANST (Analytical study)  
(benzocaine and lidocaine detn. in solns. of)

IT 94-09-7

RL: ANT (Analyte); ANST (Analytical study)  
(detn. of, in iso-Pr myristate solns. by UV absorption spectrophotometry)

IT 137-58-6

RL: ANT (Analyte); ANST (Analytical study)  
(detn. of, in iso-Pr myristate solns. by gas chromatog.)

CCESSION NUMBER: 1978:470827 HCAPLUS  
 DOCUMENT NUMBER: 89:70827  
 TITLE: The effect of various topical antibiotic and  
 antibacterial agents on the middle and inner ear of  
 the guinea-pig  
 AUTHOR(S): Parker, F. L.; James, G. W. L.  
 CORPORATE SOURCE: Dep. Pharmacol., Roussel Lab. Ltd., Swindon, UK  
 SOURCE: Journal of Pharmacy and Pharmacology (1978), 30(4),  
 236-9  
 CODEN: JPPMAB; ISSN: 0022-3573  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB Of 18 antibiotic, antibacterial, antifungal, and antiinflammatory compds.  
 and 4 solvents screened for the absence of ototoxicity and inflammation to  
 the guinea pig middle ear mucosa following intratympanical  
**injection**, only penicillin G [61-33-6], carbenicillin  
 [4697-36-3], and nystatin [1400-61-9] were free of hair cell toxicity and  
 inflammatory effects. Of the solvents tested, only **isopropyl**  
**myristate** was free of side effects.  
 IT Antibiotics  
 Inflammation inhibitors  
 Solvents  
 (toxicity of, to middle ear mucosa)  
 IT Quaternary ammonium compounds, biological studies  
 RL: PRP (Properties)  
 (alkylbenzyl dimethyl, chlorides, toxicity of, to middle ear mucosa)  
 IT Ear  
 (inner, antibiotics and antiinflammatory agents and solvents toxicity  
 to mucosa of)  
 IT Ear  
 (middle, antibiotics and antiinflammatory agents and solvents toxicity  
 to mucosa of)  
 IT 61-33-6, biological studies **110-27-0** 1400-61-9 4697-36-3  
 RL: BIOL (Biological study)  
 (ear mucosa response to)  
 IT 50-02-2 56-75-7 56-95-1 57-55-6, biological studies 64-72-2  
 64-75-5 68-12-2, biological studies 126-07-8 130-26-7 1066-17-7  
 1397-89-3 1405-41-0 1405-87-4 1405-97-6 2058-46-0 2392-39-4  
 25322-68-3  
 RL: PRP (Properties)  
 (toxicity of, to middle ear mucosa)

ACCESSION NUMBER: 1989:428441 HCAPLUS  
 DOCUMENT NUMBER: 111:28441  
 TITLE: Release of 5-fluorouracil from intramuscular w/o/w multiple emulsions  
 AUTHOR(S): Omotosho, J. A.; Whateley, T. L.; Florence, A. T.  
 CORPORATE SOURCE: Sch. Pharm. Pharmacol., Univ. Strathclyde, Glasgow, G1 1XW, UK  
 SOURCE: Biopharmaceutics & Drug Disposition (1989), 10(3), 257-68  
 CODEN: BDDID8; ISSN: 0142-2782  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB Comparative in vivo studies of aq. soln., multiple water-oil-water (w/o/w) and w/o emulsions showed that formulating 5-fluorouracil in emulsion systems significantly sustained the release of the drug from i.m. **injection** sites in the rat. I.m. **injection** of the drug in both w/o and w/o/w emulsion systems produced sustained blood concns. with a later blood level peak than obsd. following i.m. **injection** of aq. solns. of the drug. The multiple w/o/w emulsion exhibited a more rapid release of drug from the **injection** site than the w/o emulsion because of partitioning of the drug to the external aq. phase during secondary emulsification. The fate of the oil phase following i.m. **injection** of a water/hexadecane/water multiple emulsion spiked with 1-14C-hexadecane was studied in rats as a function of stabilizer concns. Increasing the lipophilic surfactant (Span 80) concn. facilitated the clearance of the oily vehicle from the **injection** site, by mechanisms which remain to be elucidated.  
 IT Muscle, metabolism  
 (fluorouracil absorption by, from sustained-release multiple emulsion **injection**)  
 IT Solution rate  
 (of fluorouracil, from sustained-release i.m. multiple emulsions)  
 IT Pharmaceutical dosage forms  
 (emulsions, sustained-release, multiple, fluorouracil clearance and release from)  
 IT 1338-43-8, Span 80  
 RL: BIOL (Biological study)  
 (fluorouracil clearance from sustained-release i.m. multiple emulsions in relation to)  
 IT 108-88-3, Toluene, biological studies 110-27-0, **Isopropyl myristate** 110-82-7, Cyclohexane, biological studies 111-65-9, Octane, biological studies 112-40-3, Dodecane 544-76-3, Hexadecane  
 RL: BIOL (Biological study)  
 (fluorouracil sustained release from i.m. multiple emulsions in relation to)  
 IT 9005-65-6, Tween 80  
 RL: BIOL (Biological study)  
 (fluorouracil sustained-release i.m. multiple emulsions contg.)  
 IT 51-21-8, 5-Fluorouracil  
 RL: PROC (Process)  
 (sustained release of, from multiple emulsions for i.m. administration)

L5 ANSWER 29 OF 72 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1978:470827 HCAPLUS  
 DOCUMENT NUMBER: 89:70827  
 TITLE: The effect of various topical antibiotic and antibacterial agents on the middle and inner ear of the guinea-pig  
 AUTHOR(S): Parker, F. L.; James, G. W. L.  
 CORPORATE SOURCE: Dep. Pharmacol., Roussel Lab. Ltd., Swindon, UK  
 SOURCE: Journal of Pharmacy and Pharmacology (1978), 30(4),

236-9

CODEN: JPPMAB; ISSN: 0022-3573

DOCUMENT TYPE:

Journal

LANGUAGE:

English

- AB Of 18 antibiotic, antibacterial, antifungal, and antiinflammatory compds. and 4 solvents screened for the absence of ototoxicity and inflammation to the guinea pig middle ear mucosa following intratympanic **injection**, only penicillin G [61-33-6], carbenicillin [4697-36-3], and nystatin [1400-61-9] were free of hair cell toxicity and inflammatory effects. Of the solvents tested, only **isopropyl myristate** was free of side effects.
- IT Antibiotics  
Inflammation inhibitors  
Solvents  
(toxicity of, to middle ear mucosa)
- IT Quaternary ammonium compounds, biological studies  
RL: PRP (Properties)  
(alkylbenzyl dimethyl, chlorides, toxicity of, to middle ear mucosa)
- IT Ear  
(inner, antibiotics and antiinflammatory agents and solvents toxicity to mucosa of)
- IT Ear  
(middle, antibiotics and antiinflammatory agents and solvents toxicity to mucosa of)
- IT 61-33-6, biological studies **110-27-0** 1400-61-9 4697-36-3  
RL: BIOL (Biological study)  
(ear mucosa response to)
- IT 50-02-2 56-75-7 56-95-1 57-55-6, biological studies 64-72-2  
64-75-5 68-12-2, biological studies 126-07-8 130-26-7 1066-17-7  
1397-89-3 1405-41-0 1405-87-4 1405-97-6 2058-46-0 2392-39-4  
25322-68-3  
RL: PRP (Properties)  
(toxicity of, to middle ear mucosa)

L5 ANSWER 25 OF 72 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1982:223154 HCAPLUS

DOCUMENT NUMBER: 96:223154

TITLE: Studies on the absorption of practically  
water-insoluble drugs following **injection**.  
V: Subcutaneous absorption in rats from solutions in  
water immiscible oils

AUTHOR(S): Hirano, Koichiro; Ichihashi, Teruhisa; Yamada, Hideo

CORPORATE SOURCE: Shionogi Res. Lab., Shionogi and Co., Ltd., Osaka,  
553, Japan

SOURCE: Journal of Pharmaceutical Sciences (1982), 71(5),  
495-500

CODEN: JPMSAE; ISSN: 0022-3549

DOCUMENT TYPE: Journal

LANGUAGE: English

AB To elucidate the kinetics and mechanisms of s.c. absorption of practically  
water-insol. drugs in oily solns., the absorption behaviors of select azo  
dyes and other prototype agents were investigated by a local clearance  
method in the dorsum in intact rats. The absorption of the drug  
components appeared to be first-order. The first-order rate const. (k)  
was inversely proportional to the cube root of the **injection**  
vol. In more limited studies, essentially the same behavior was obsd. in  
the rat abdomen, and the difference in k between the dorsal and abdominal  
**injections** was slight. The comparison of k of a given compd. from  
different oily vehicles showed that k was governed predominantly by the  
distribution coeff. (K) between the oily vehicle and the aq. s.c. medium  
and depended little on the viscosity of the vehicle. This distribution  
relationship was shown through correlation of the rate consts. with in  
vitro distribution coeffs. A plot of log k vs. log K for all the compds.  
tested was linear with a slope of .apprx.-0.7. This linear relationship  
allows adequate prediction of absorption rates of other drugs from oily  
vehicles. The obsd. s.c. rates and behaviors are compared with previous  
results involved the i.m. route.

IT Muscle, metabolism

Skin, metabolism

(drugs absorption by, from **injections**, oily vehicles effect  
on)

IT Oils

RL: BIOL (Biological study)

(sesame, drugs absorption from s.c. **injections** in relation  
to)

IT Glycerides, biological studies

RL: BIOL (Biological study)

(C8-12, drugs absorption from s.c. **injections** in relation to)

IT Pharmaceuticals

(**injections**, s.c. absorption of, oily vehicles effect on)

IT 110-27-0 110-40-7 8050-81-5

RL: BIOL (Biological study)

(drugs absorption from s.c. **injections** in relation to)

L5 ANSWER 30 OF 72 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1993:198002 HCAPLUS

DOCUMENT NUMBER: 118:198002

TITLE: Controlled release from micellar solutions by phase transformation into liquid crystals

AUTHOR(S): Mueller-Goymann, C. C.; Hamann, H. J.

CORPORATE SOURCE: Inst. Pharm. Technol., Phillips Univ., Marburg, D-3550, Germany

SOURCE: Proc. Program Int. Symp. Controlled Release Bioact. Mater., 18th (1991), 421-2. Editor(s): Kellaway, Ian W. Controlled Release Soc.: Deerfield, Ill. CODEN: 58GMAH

DOCUMENT TYPE: Conference

LANGUAGE: English

AB An oily soln. of drug acts as a depot upon s.c. or i.m. **injection**. Release from such solns. was further controlled by changing the microstructure of the applied system on contact with biofluids. Fenoprofen was solubilized in a reverse micellar soln. of **isopropyl myristate** and lecithin. Addn. of small amts. of water changed the microstructure of the micelles from spheres via rods to a lamellar liq. crystals. The diffusion coeff. of the drug within the liq. crystal is about 10% of that in pure **isopropyl myristate**.

IT Micelles  
(phase transformation of, liq. crystal formation in, drug release lowering by)

IT Pharmaceutical dosage forms  
(controlled-release, drug release from micellar, liq. crystal phase transformation in)

IT Liquid crystals  
(lamellar, lipid micelle phase transformation to, drug release lowering by)



ACCESSION NUMBER: 1955:9481 HCAPLUS  
DOCUMENT NUMBER: 49:9481  
ORIGINAL REFERENCE NO.: 49:1970g-h  
TITLE: The adaptability of **isopropyl myristate** for use as a vehicle for **parenteral injections**  
AUTHOR(S): Platcow, Edward L.; Voss, Elbert  
CORPORATE SOURCE: Univ. of Florida, Gainesville  
SOURCE: J. Am. Pharm. Assoc. (1954), 43, 690-2  
DOCUMENT TYPE: Journal  
LANGUAGE: Unavailable  
AB **Isopropyl myristate** has no sensitizing propensities and a very low degree of irritability following topical and **parenteral** use in animals. It is nontoxic in mice, but 3 out of 8 rats died after 5 daily intraperitoneal **injections** of 5 ml. per kg.

L5 ANSWER 15 OF 72 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1982:205272 HCAPLUS

DOCUMENT NUMBER: 96:205272

TITLE: The influence of the solvent on the availability of testosterone propionate from oily **injections**

AUTHOR(S): Al-Hindawi, M. K.; James, K. C.; Nicholls, P. J.

CORPORATE SOURCE: Welsch Sch. Pharm., Univ. Wales Inst. Sci. Technol., Cardiff, CF1 3NU, UK

SOURCE: Journal of Pharmacy and Pharmacology (1981), 33(Suppl.), 65P

CODEN: JPPMAB; ISSN: 0022-3573

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The half-lives of 14C-labeled testosterone propionate [57-85-2] injected in octanol [111-87-5], **isopropyl myristate** [110-27-0], or light liq. paraffin into the gastrocnemius muscle of the rat followed the same rank order as the distribution coeffs.; the half-lives obtained from the 14C urinary levels were longer and did not vary from solvent to solvent. It was inferred that absorption from an i.m. depot is not the rate-detg. step controlling duration of biol. action and probably occurs by release from another depot.

IT Paraffin oils

RL: BIOL (Biological study)  
(testosterone propionate bioavailability from i.m. oily **injections** in relation to)

IT 57-85-2

RL: PROC (Process)  
(bioavailability of, after i.m. oily **injection**, solvent effect on)

IT 110-27-0 111-87-5, biological studies

RL: BIOL (Biological study)  
(testosterone propionate bioavailability from i.m. oily **injections** in relation to)